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NEWS 6 MAY 21
                TOXCENTER enhanced with BIOSIS reload
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                 patents
NEWS 8 MAY 22 CA/Caplus enhanced with IPC reclassification in Japanese
                 patents
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NEWS 14 JUL 02 SCISEARCH enhanced with complete author names
NEWS 15 JUL 02 CHEMCATS accession numbers revised
NEWS 16 JUL 02 CA/Caplus enhanced with utility model patents from China
NEWS 17 JUL 16 CAplus enhanced with French and German abstracts
NEWS 18 JUL 18 CA/CAplus patent coverage enhanced
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| NEWS 25 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
|---------|--------|--|
| NEWS 26 | AUG 27 | Full-text patent databases enhanced with predefined      |
|         |        | patent family display formats from INPADOCDB             |

NEWS 19 JUL 26 USPATFULL/USPAT2 enhanced with IPC reclassification

NEWS 21 AUG 06 CAS REGISTRY enhanced with new experimental property tags

NEWS 24 AUG 13 CA/CAplus enhanced with additional kind codes for granted

NEWS 27 AUG 27 USPATOLD now available on STN

NEWS 22 AUG 06 BEILSTEIN updated with new compounds NEWS 23 AUG 06 FSTA enhanced with new thesaurus edition

NEWS 20 JUL 30 USGENE now available on STN

patents

NEWS 28 AUG 28 CAS REGISTRY enhanced with additional experimental spectral property data

NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.

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chain nodes:
10 11 13 15 18 19
ring nodes:
1 2 3 4 5 6 7 8 9
ring/chain nodes:
17
chain bonds:
2-13 3-11 4-10 8-19 9-18 10-15 10-17
ring bonds:
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9
exact/norm bonds:
1-2 1-6 2-3 2-13 3-4 3-11 4-5 4-10 5-6 5-7 6-9 7-8 8-9 8-19 9-18
10-15 10-17
isolated ring systems:
containing 1:
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G1:C,H,CN,X,Cb

G2:C,H,O,N,CN,X

G3:H, CH3

Match level: 1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 13:CLASS 15:CLASS 17:CLASS 18:Atom 19:CLASS

## L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

- G1 C, H, CN, X, Cb
- G2 C, H, O, N, CN, X
- G3 H,Me

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 09:35:52 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 649 TO ITERATE

100.0% PROCESSED 649 ITERATIONS 50 ANSWERS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
PROJECTED ITERATIONS: 1164 TO 14508
PROJECTED ANSWERS: 1164 TO 2276

L2 50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 09:36:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 13428 TO ITERATE

100.0% PROCESSED 13428 ITERATIONS SEARCH TIME: 00.00.01 1724 ANSWERS

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L3 1724 SEA SSS FUL L1

=> fil capl

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 TOTAL SESSION

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 172.30

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=> s 13

L4 61 L3

=> s 14 and (py<2003 or ay<2003 or pry<2003)

2288649 PY<2003

4459241 AY<2003

3937862 PRY<2003

L5 38 L4 AND (PY<2003 OR AY<2003 OR PRY<2003)
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=> d 15 ibib hitstr abs 1-38

L5 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:359151 CAPLUS

DOCUMENT NUMBER: 146:380002

TITLE: Preparation of novel pyrazolopyrimidines as cyclin

dependent kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Labroli, Marc; Keertikar, Kartik M.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 144pp., Cont.-in-part of U.S. Ser. No. 245,401.

CODEN: USXXCO
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO.             | KIND | DATE     | APPLICATION NO.  |    | DATE     |   |  |  |  |
|------------------------|------|----------|------------------|----|----------|---|--|--|--|
| US 2007072881          | A1   | 20070329 | US 2006-542920   | -  | 20061004 | < |  |  |  |
| CN 1880317             | A    | 20061220 | CN 2006-10101322 |    | 20030903 |   |  |  |  |
| US 7161003             | B2   | 20070109 | US 2003-654546   |    | 20030903 | < |  |  |  |
| US 2007037824          | A1   | 20070215 |                  |    |          |   |  |  |  |
| US 2004209878          | A1   | 20041021 | US 2004-776988   |    | 20040211 | < |  |  |  |
| US 7119200             | B2   | 20061010 |                  |    |          |   |  |  |  |
| US 2006128725          | A1   | 20060615 | US 2005-245401   |    | 20051006 | < |  |  |  |
| US 7196078             | B2   | 20070327 |                  |    |          |   |  |  |  |
| ZA 2005001855          | A    | 20060329 | ZA 2005-1855     |    | 20060117 |   |  |  |  |
| PRIORITY APPLN. INFO.: |      |          | US 2002-408027P  | P  | 20020904 |   |  |  |  |
|                        |      |          | US 2002-421959P  | P  | 20021029 | < |  |  |  |
|                        |      |          | US 2003-654546   |    | 20030903 |   |  |  |  |
|                        |      |          | US 2004-776988   |    | 20040211 |   |  |  |  |
|                        |      |          | US 2005-245401   |    | 20051006 |   |  |  |  |
|                        |      |          | CN 2003-824997   | A3 | 20030903 |   |  |  |  |

IT 930594-83-5P 930594-85-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors)

RN 930594-83-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-methyl-N-4-piperidinyl-3-(4-pyridinyl)-(CA INDEX NAME)

RN 930594-85-7 CAPLUS

CN 1,3-Propanediamine, N1-[5-methyl-3-(4-pyridinyl)pyrazolo[1,5-a]pyrimidin-7yl]- (CA INDEX NAME)

GΙ

AB Title compds. I [R = H, alkyl, alkenyl, etc.; R2 = H, CF3, alkyl, heterocyclyl, etc.; R3 = H, halo, OH, SH, alkyl, etc.; R4 = H, halo or alkyll, and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of cyclin dependent kinases (CDKs). Thus, e.g., II was prepared by amination of III (preparation given) followed by deprotection.

Methods for in vitro kinase assays are described, e.g., II was found to possess an IC50 value of 10  $(\mu M)$ . Further disclosed are pharmaceutical compns. containing one or more of I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

ΙI

L5 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2007:359119 CAPLUS

DOCUMENT NUMBER: 146:380001

TITLE: Preparation of novel pyrazolopyrimidines as cyclin

dependent kinase inhibitors
INVENTOR(S): Guzi, Timothy J.: Paruch, Kamil: Dwyer, Micha

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Siddiqui, M. Arshad; Reddy, Panduranga Adulla P.;

Belanger, David B.; Hamann, Blake; Curran, Patrick J.
PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 60pp., Cont.-in-part of U.S.

Ser. No. 244,776. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO.             | KIND       | DATE       | APPLICATION | NO.     | DATE       |   |
|------------------------|------------|------------|-------------|---------|------------|---|
|                        |            |            |             |         |            |   |
| US 2007072882          | A1         | 20070329   | JS 2006-543 | 182     | 20061004   | < |
| US 2004102451          | A1         | 20040527   | JS 2003-654 | 1157    | 20030903   | < |
| US 7078525             | B2         | 20060718   |             |         |            |   |
| US 2006040958          | A1         | 20060223   | JS 2005-244 | 1776    | 20051006   | < |
| US 7196092             | B2         | 20070327   |             |         |            |   |
| PRIORITY APPLN. INFO.: |            |            | JS 2002-408 | 8030P P | 20020904   | < |
|                        |            |            | JS 2003-654 | 1157 A  | 2 20030903 |   |
|                        |            |            | JS 2005-244 | 1776 A  | 2 20051006 |   |
| ORDER COURSELS         | 142 mm a m | 116 200001 |             |         |            |   |

OTHER SOURCE(S): MARPAT 146:380001

IT 930299-25-5P 930299-26-6P 930299-44-8P 930299-45-9P 930300-17-7P 930300-18-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of pyrazolopyrimidines as cyclin dependent kinase inhibitors)

RN 930299-25-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3-methyl-5-isothiazolyl)-3-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

RN 930299-26-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-methyl-N-(3-methyl-5-isothiazolyl)-3-(4-pyridinyl)- (CA INDEX NAME)

RN 93029-44-8 CAPLUS
CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3-methyl-5-isothiazolyl)-3-(1H-pyrazol-4-yl)-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

- RN 930299-45-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[3-(4-piperidiny1)-5-isothiazoly1]-3-(1H-pyrazol-4-y1)-, hydrochloride (1:?) (CA INDEX NAME)

## ●x HC1

- RN 930300-17-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(3-methyl-5-isothiazolyl)-3-(1H-pyrazol-4-yl)- (CA INDEX NAME)

- RN 930300-18-8 CAPLUS

IT 930299-96-0P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolopyrimidines as cyclin dependent kinase inhibitors)

RN 930299-96-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-N-(3-methyl-5-isothiazolyl)-3-(1-methyl-1H-pyrazol-4-yl)- (CA INDEX NAME)

G]

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- AB Title compds. I [R = (un)substituted heteroary]; R2 = alkyl, alkynyl, CF3, aryl, etc.; R3 = H, halo, alkyl, heteroaryl, etc.; R4 = H, halo or alkyll, and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of cyclin dependent kinases (CDKs). Thus, e.g., II was prepared by substitution of III (preparation given) with 3-aminoazetidine. In in vitro kinase activity assays, select compds. of the invention demonstrated IC50 values ranging from 0.05 49 (µkl). Further disclosed are

pharmaceutical compns. containing one or more of I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

L5 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:579598 CAPLUS

DOCUMENT NUMBER: 145:62916

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.;

Labroli, Marc; Keertikar, Kartik M.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 1068 pp., Cont.-in-part of U.S. Ser. No. 776,988.

CODEN: USXXCO

DOCUMENT TYPE: Patent. LANGUAGE: English

ENUTIVACE NUM COUNT: 6

| E WILLT PT | MCC. | MOP1. | COOMT: |
|------------|------|-------|--------|
| PATENT     | INFO | RMATI | : NC   |

| PATENT NO.                  | KIND   | DATE      | APPLICATION NO.     |                 |  |  |
|-----------------------------|--------|-----------|---------------------|-----------------|--|--|
| US 2006128725<br>US 7196078 |        | 20060615  | US 2005-245401      |                 |  |  |
| CN 1880317                  |        | 20061220  | CN 2006-10101322    | 20030903 <      |  |  |
| US 7161003                  | B2     | 20070109  | US 2003-654546      |                 |  |  |
| US 2007037824               | A1     | 20070215  |                     |                 |  |  |
| US 2004209878               | A1     | 20041021  | US 2004-776988      | 20040211 <      |  |  |
| US 7119200                  | B2     | 20061010  |                     |                 |  |  |
| ZA 2005001855               |        | 20060329  |                     | 20060117 <      |  |  |
| US 2007072881               | A1     | 20070329  | US 2006-542920      | 20061004 <      |  |  |
|                             |        |           | WO 2006-US38939     | 20061004        |  |  |
| WO 2007044449               |        |           |                     |                 |  |  |
|                             |        |           | BA, BB, BG, BR, BW, |                 |  |  |
|                             |        |           | DM, DZ, EC, EE, EG, |                 |  |  |
|                             |        |           | IL, IN, IS, JP, KE, |                 |  |  |
|                             |        |           | LT, LU, LV, LY, MA, |                 |  |  |
|                             |        |           | NO, NZ, OM, PG, PH, |                 |  |  |
|                             |        |           | SM, SV, SY, TJ, TM, | IN, TR, TT, TZ, |  |  |
| UA, UG, US,                 |        |           |                     |                 |  |  |
|                             |        |           | DK, EE, ES, FI, FR, |                 |  |  |
|                             |        |           | PL, PT, RO, SE, SI, |                 |  |  |
|                             |        |           | GW, ML, MR, NE, SN, |                 |  |  |
| GM, KE, LS,<br>KG, KZ, MD,  |        |           | SL, SZ, TZ, UG, ZM, | ZW, AM, AZ, BY, |  |  |
| PRIORITY APPLN. INFO.:      |        |           | US 2002-408027P     | D 20020004 -    |  |  |
| PRIORITI APPEN. INFO.:      |        |           | US 2002-408027P     | P 20021029 <    |  |  |
|                             |        |           | US 2002-421939F     |                 |  |  |
|                             |        |           | US 2004-776988      |                 |  |  |
|                             |        |           | CN 2003-824997      |                 |  |  |
|                             |        |           | US 2005-245401      |                 |  |  |
| OTHER SOURCE(S):            | MARPAT | 145:62916 |                     |                 |  |  |
| IT 779353-05-8P             |        |           |                     |                 |  |  |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors) 779353-05-8 CAPLUS

Cyclohexanemethanol, 2-[[3-cyclopropyl-7-[[(1-oxido-3-

pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

- IT 779353-66-1P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
- RN 779353-66-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-cyclopropyl-N-[(1-oxido-3-pyridinyl)methyl]- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:167936 CAPLUS

DOCUMENT NUMBER: 144:254145

TITLE: Preparation of novel pyrazolopyrimidines as cyclin

dependent kinase inhibitors INVENTOR(S):

Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S. Pat. Appl. Publ., 85 pp., Cont.-in-part of U.S.

Ser. No. 653,776. CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

|          | PATENT NO. |      |      |     |     |     |      |      | APPLICATION NO. |      |      |       |     |      |            |      |     |   |
|----------|------------|------|------|-----|-----|-----|------|------|-----------------|------|------|-------|-----|------|------------|------|-----|---|
|          |            | 0411 | 31   |     | A1  |     | 2006 |      |                 | US 2 |      |       |     |      |            |      | 006 | < |
| US       | 7205       | 308  |      |     | B2  |     | 2007 | 0417 |                 |      |      |       |     |      |            |      |     |   |
| US       | 2004       | 1066 | 24   |     | A1  |     | 2004 | 0603 |                 | US 2 | 003- | 6537  | 76  |      | 2          | 0030 | 903 | < |
| US       | 7067       | 661  |      |     | B2  |     | 2006 | 0627 |                 |      |      |       |     |      |            |      |     |   |
| US       | 2006       | 1783 | 71   |     | A1  |     | 2006 | 0810 |                 | US 2 | 006- | 3956  | 76  |      | 20060331 < |      |     |   |
| US       | 2007       | 0728 | 80   |     | A1  |     | 2007 | 0329 | US 2006-542833  |      |      |       |     |      | 20061004 < |      |     |   |
| WO       | 2007       | 0444 | 20   |     | A1  |     | 2007 | 0419 |                 | WO 2 | 006- | US38: | 838 |      | 20061004   |      |     |   |
|          | ₩:         | ΑE,  | AG,  | AL, | AM, | ΑT, | AU,  | ΑZ,  | BA,             | BB,  | BG,  | BR,   | BW, | BY,  | ΒZ,        | CA,  | CH, |   |
|          |            | CN,  | CO,  | CR, | CU, | CZ, | DE,  | DK,  | DM,             | DZ,  | EC,  | EE,   | EG, | ES,  | FΙ,        | GB,  | GD, |   |
|          |            | GE,  | GH,  | GM, | HN, | HR, | HU,  | ID,  | IL,             | IN,  | IS,  | JP,   | KE, | KG,  | KM,        | KN,  | KP, |   |
|          |            | KR,  | KZ,  | LA, | LC, | LK, | LR,  | LS,  | LT,             | LU,  | LV,  | LY,   | MA, | MD,  | MG,        | MK,  | MN, |   |
|          |            | MW,  | MX,  | MY, | MZ, | NA, | NG,  | NI,  | NO,             | NZ,  | OM,  | PG,   | PH, | PL,  | PT,        | RO,  | RS, |   |
|          |            | RU,  | SC,  | SD, | SE, | SG, | SK,  | SL,  | SM,             | SV,  | SY,  | ΤJ,   | TM, | TN,  | TR,        | TT,  | TZ, |   |
|          |            | UA,  | UG,  | US, | UZ, | VC, | VN,  | ZA,  | ZM,             | ZW   |      |       |     |      |            |      |     |   |
|          | RW:        | AT,  | BE,  | BG, | CH, | CY, | CZ,  | DE,  | DK,             | EE,  | ES,  | FI,   | FR, | GB,  | GR,        | HU,  | IE, |   |
|          |            | IS,  | IT,  | LT, | LU, | LV, | MC,  | NL,  | PL,             | PT,  | RO,  | SE,   | SI, | SK,  | TR,        | BF,  | ВJ, |   |
|          |            | CF,  | CG,  | CI, | CM, | GA, | GN,  | GQ,  | GW,             | ML,  | MR,  | NE,   | SN, | TD,  | TG,        | BW,  | GH, |   |
|          |            | GM,  | KE,  | LS, | MW, | MZ, | NA,  | SD,  | SL,             | SZ,  | TZ,  | UG,   | ZM, | ZW,  | AM,        | AZ,  | BY, |   |
|          |            | KG,  | KZ,  | MD, | RU, | TJ, | TM   |      |                 |      |      |       |     |      |            |      |     |   |
| PRIORITY | APE        | LN.  | INFO | . : |     |     |      |      |                 | US 2 | 002- | 4080  | 29P |      | P 2        | 0020 | 904 | < |
|          |            |      |      |     |     |     |      |      |                 | US 2 | 003- | 6537  | 76  |      | A2 2       | 0030 | 903 |   |
|          |            |      |      |     |     |     |      |      | US 2            | 005- | 2447 | 72    |     | A2 2 | 0051       | 006  |     |   |

OTHER SOURCE(S): MARPAT 144:254145

877173-69-8P 877173-71-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel pyrazolopyrimidines as cyclin dependent kinase inhibitors for treatment and prevention of diseases)

RN 877173-69-8 CAPLUS

CN 1,3-Benzenediamine, N,N-dimethyl-N'-[3-(1-methyl-1H-pyrazol-4yl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 877173-71-2 CAPLUS

CN Phenol, 4-[[3-(1-methyl-1H-pyrazol-4-yl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]- (CA INDEX NAME)

GI

- AB The title compds. I [R = aryl optionally substituted with one or more heteroaryl; R2 = alkyl, cycloalkyl, CF3, etc.; R3 = H, halo, alkyl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases, were prepared Thus, reacting II (preparation given) with
- 4-methylsulfonylaniline hydrochloride in the presence of iPr2NEt afforded 23% III. The compds. I were tested in in vitro cyclin E/CDK2 kinase assay (biol. data given for representative compds. I). The invention also provides pharmaceutical compns. containing one or more compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs using such compds. or pharmaceutical compns.

L5 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:878151 CAPLUS

DOCUMENT NUMBER: 141:366243

TITLE: Preparation of pyrazolopyrimidines as cyclin-dependent

kinase inhibitors

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamilus; Dwyer, Michael P.;
Doll, Ronald J.; Girijavallabhan, Viyyoor M.; Mallams,

Alan; Alvarez, Carmen S.; Keertikar, Kartik M.; Rivera, Jocelyn; Chan, Tin-Yau; Madison, Vincent; Fischmann, Thierry O.; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min; James, Ray Anthony; Park, Haengsoon; Paradkar, Vidyadhar M.; Hobbs, Douglas

Walsh

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: U.S. Pat. Appl. Publ., 1044 pp., Cont.-in-part of U.S. Ser. No. 654,546.

Ser. No. 654,546 CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: Englis

| FAMILY | ACC. | NUM.  | COUNT: |
|--------|------|-------|--------|
| PATENT | INFO | RMATI | : NC   |

| PA: | TENT                 | NO.         |     |     |         |     | DATE |              |     | APP:  | LICAT          | ION   | NO.  |     | D.  | ATE  |     |   |
|-----|----------------------|-------------|-----|-----|---------|-----|------|--------------|-----|-------|----------------|-------|------|-----|-----|------|-----|---|
| US  | 2004                 | 2098        | 78  |     | A1      |     |      | 1021         |     | US :  | 2004-          | 7769  | 88   |     | 2   | 0040 | 211 | < |
| 05  | 7119<br>1880         | 200         |     |     | B2      |     |      | 1010<br>1220 |     | OM .  | 2000           | 1010  | 1222 |     | 2   | 0030 | 002 | , |
|     | 7161                 |             |     |     | A<br>B2 |     |      | 0109         |     | TIC : | 2006-<br>2003- | CE 4E | 1322 |     | 2   | 0030 |     |   |
| 115 | 2007                 | 003<br>0378 | 24  |     | A1      |     |      | 0215         |     | 05.   | 2003-          | 0343  | 40   |     |     | 0030 | 903 | \ |
|     | 2005                 |             |     |     | Δ1      |     |      | 0825         |     | ΔII   | 2005-          | 2124  | n9   |     | 2   | กกรก | 208 |   |
|     | 2555                 |             | 0,5 |     | A1      |     | 2005 | 0825         |     |       | 2005-          |       |      |     |     |      |     |   |
|     | 2005                 |             | 54  |     | A2      |     |      |              |     |       | 2005-          |       |      |     |     |      |     |   |
| WO  | 2005                 | 0779        | 54  |     | A3      |     |      |              |     |       |                |       |      |     | _   |      |     |   |
|     | W:                   | AE,         | AG, | AL, | AM,     | AT, | AU,  | AZ,          | BA, | BB    | , BG,          | BR,   | BW,  | BY, | BZ, | CA,  | CH, |   |
|     |                      | CN,         | co, | CR, | CU,     | CZ, | DE,  | DK,          | DM, | DZ    | , EC,          | EE,   | EG,  | ES, | FI, | GB,  | GD, |   |
|     |                      | GE,         | GH, | GM, | HR,     | HU, | ID,  | IL,          | IN, | IS    | , JP,          | KE,   | KG,  | KP, | KR, | KZ,  | LC, |   |
|     |                      | LK,         | LR, | LS, | LT,     | LU, | LV,  | MA,          | MD, | MG    | , MK,          | MN,   | MW,  | MX, | MZ, | NA,  | NI, |   |
|     |                      | NO,         | NZ, | OM, | PG,     | PH, | PL,  | PT,          | RO, | RU    | , sc,          | SD,   | SE,  | SG, | SK, | SL,  | SY, |   |
|     |                      | ΤJ,         | TM, | TN, | TR,     | TT, | TZ,  | UA,          | UG, | US    | , UZ,          | VC,   | VN,  | YU, | ZA, | ZM,  | zw  |   |
|     | RW:                  |             |     |     |         |     |      |              |     |       | , SL,          |       |      |     |     |      |     |   |
|     |                      |             |     |     |         |     |      |              |     |       | , BE,          |       |      |     |     |      |     |   |
|     |                      |             |     |     |         |     |      |              |     |       | , IT,          |       |      |     |     |      |     |   |
|     |                      |             |     |     |         |     | BF,  | ВJ,          | CF, | CG    | , CI,          | CM,   | GΑ,  | GN, | GQ, | G₩,  | ML, |   |
|     |                      |             |     |     | TD,     |     |      |              |     |       |                |       |      |     |     |      |     |   |
| EP  |                      |             |     |     |         |     |      |              |     |       | 2005-          |       |      |     |     |      |     |   |
|     | R:                   |             |     |     |         |     |      |              |     |       | , ES,          |       |      |     |     |      |     |   |
|     |                      |             |     |     |         | LU, | MC,  | NL,          | PL, | PT    | , RO,          | SE,   | SI,  | SK, | TR, | AL,  | BA, |   |
| 011 | 2016                 |             |     | MK, |         |     | 0007 | 0.433        |     |       | 0005           |       |      |     | _   | 0050 |     |   |
| CN  | 1946                 | 125         |     |     | A       |     | 2007 | 0411         |     |       | 2005-<br>2005- |       |      |     |     | 0050 |     |   |
| BK  | 2005                 | UU / 6      | 20  |     | A.      |     | 2007 | 0417         |     |       | 2005-<br>2006- |       |      |     |     |      |     |   |
| TTC | 2005<br>2007<br>2006 | 1207        | 26  |     | 2.1     |     | 2007 | 0615         |     |       | 2006-<br>2005- |       |      |     |     |      |     |   |
| 110 | 7196                 | 070         | 23  |     | M1      |     | 2000 | 0327         |     | 05 .  | 2005-          | 2434  | 0.1  |     |     | 0031 | 000 | < |
|     | 2005                 |             |     |     |         |     |      | 0327         |     | 77    | 2005-          | 1055  |      |     | 2   | 0060 | 117 | · |
|     | 2007                 |             |     |     |         |     |      | 0308         |     |       | 2006-          |       |      |     |     |      |     |   |
|     | 2007                 |             |     |     | A1      |     |      | 0308         |     |       | 2006-          |       |      |     |     |      |     |   |
|     | 2006                 |             |     |     |         |     |      | 0608         |     |       | 2006-          |       |      |     |     |      |     | • |
|     | 2006                 |             |     |     | A       |     |      | 1110         |     |       | 2006-          |       |      |     |     |      |     |   |
|     | 2006                 |             |     |     |         |     |      | 1110         |     |       | 2006-          |       |      |     |     | 0060 |     |   |
|     |                      |             |     |     |         |     |      |              |     |       |                | 0     |      |     | -   |      |     |   |

| US 2007072881          | A1 | 20070329 | US  | 2006-542920  |    | 20061004 < |
|------------------------|----|----------|-----|--------------|----|------------|
| PRIORITY APPLN. INFO.: |    |          | US  | 2002-408027P | P  | 20020904 < |
|                        |    |          | US  | 2002-421959P | P  | 20021029 < |
|                        |    |          | US  | 2003-654546  | A2 | 20030903   |
|                        |    |          | CN  | 2003-824997  | A3 | 20030903   |
|                        |    |          | US  | 2004-776988  | A  | 20040211   |
|                        |    |          | WO  | 2005-US3859  | W  | 20050208   |
|                        |    |          | IIS | 2005-245401  | A2 | 20051006   |

OTHER SOURCE(S): MARPAT 141:366243

779353-05-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)

RN 779353-05-8 CAPLUS

Cyclohexanemethanol, 2-[[3-cyclopropyl-7-[[(1-oxido-3-pyridinyl)methyl]amino]pyrazolo[1,5-a]pyrimidin-5-yl]amino]-, (1R,2S)-(9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- IT 779353-66-1P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrazolopyrimidines as cyclin-dependent kinase inhibitors)
- RN 779353-66-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-chloro-3-cyclopropyl-N-[(1-oxido-3pyridinyl)methyl]- (9CI) (CA INDEX NAME)

GΙ

AB The title compds. [I; R = H, alkyl, cycloalkyl, etc.; R2 = alkyl, halo, aryl, etc.; R3 = H, halo, aryl, etc.; R4 = H, halo, alkyl], useful as inhibitors of cyclin dependent kinases for treatment, prevention, inhibition, or amelioration of one or more diseases associated with the CDKs such as cancer, were prepared Thus, reacting II (preparation given) with 4-aminomethylpyridine afforded 93% III which showed IC50 of 0.020 µM and 0.029 µM against CDK2 kinase (cyclin A or cyclin E-dependent). The pharmaceutical composition comprising the compound I is claimed. This is a

Part I of I-III series.

L5 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:220335 CAPLUS

DOCUMENT NUMBER: 140:270872

TITLE: Preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents

INVENTOR(S): Guzi, Timothy J.; Paruch, Kamil; Dwyer, Michael P.; Doll, Ronald J.; Girijavallabhan, Viyyoor Moopil; Dillard, Lawrence W.; Tran, Vinh D.; He, Zhen Min;

James, Rav Anthony; Park, Haengsoon

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.;

Pharmacopeia Drug Discovery, Inc.

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

|         |                  |         |     | APPLICATION NO. |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|---------|------------------|---------|-----|-----------------|-----|------|------|-----------------|------|-------|------|-----|-----|------------|------|-----|---|
|         |                  |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
| WO      | 200402           | 22560   |     | A1              |     | 2004 | 0318 | WO 2003-US27502 |      |       |      |     |     | 20030903 < |      |     |   |
| WO      | 200402           | 22560   |     | A9              |     | 2005 | 0707 |                 |      |       |      |     |     |            |      |     |   |
|         | W: P             | AE, AG  | AL, | AM,             | AT, | AU,  | AZ,  | BA,             | BB,  | BG,   | BR,  | BY, | BZ, | CA,        | CH,  | CN, |   |
|         |                  | 0, CR   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | D, IL   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | IG, MK  |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | G, SK   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | GH, GM  |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | G, KZ   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | I, FR   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | BF, BJ  |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
| C3      | 249745           |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  |         |     |                 |     | 2004 |      |                 |      |       |      |     |     |            |      |     |   |
|         | 200326           |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         | 200411           |         |     |                 |     |      |      |                 | US 2 | 003-  | 5538 | 98  |     | 2          | 0030 | 903 | < |
|         | 707492           |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
| EP      | 153471           |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         |                  | AT, BE  |     |                 |     |      |      |                 |      |       |      |     |     |            |      | PT, |   |
|         |                  | E, SI   |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
|         | 170107           |         |     | A               |     | 2005 | 1123 |                 | CN 2 | 003-  | 8247 | 79  |     | 2          | 0030 | 903 | < |
| JP      | 200650           | 2161    |     | T               |     | 2006 | 0119 |                 | JP 2 | 004 - | 5344 | 59  |     | 2          | 0030 | 903 | < |
| NZ      | 200650<br>539164 | 1       |     | A               |     | 2006 | 0428 |                 | NZ 2 | 003-  | 5391 | 64  |     | 2          | 0030 | 903 | < |
| ZA      | 200500           | 1852    |     | A               |     | 2005 | 0908 |                 | ZA 2 | 005-  | 1852 |     |     | 2          | 0050 | 303 | < |
| MX      | 2005PF           | 102570  |     | A               |     | 2005 | 0908 |                 | MX 2 | 005-1 | PA25 | 70  |     | 2          | 0050 | 304 | < |
|         | 200617           |         |     |                 |     |      |      |                 |      |       |      |     |     |            |      |     |   |
| PRIORIT | Y APPLN          | J. INFO | ).: |                 |     |      |      |                 | US 2 | 002-  | 4079 | 99P |     | P 2        | 0020 | 904 | < |
|         |                  |         |     |                 |     |      |      |                 |      | 003-  |      |     |     |            |      |     |   |
|         |                  |         |     |                 |     |      |      |                 |      | 003-  |      |     |     |            |      |     |   |
| OTHER S | OURCE (S         | 3):     |     | MAR             | PAT | 140: | 2708 |                 |      |       |      |     |     |            |      |     |   |

OTH

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidines as cyclin dependent kinase inhibitors and anticancer agents)

ΙT 673475-13-3P 673475-26-8P 673475-27-9P 673475-30-4P 673475-31-5P 673475-34-8P

<sup>673475-35-9</sup>P 673475-36-0P

RN 673475-13-3 CAPLUS

CN 3-Pyridinecarboxamide, N-(5-chloro-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7y1) - (9CI) (CA INDEX NAME)

- RN 673475-26-8 CAPLUS
- CN 3-Pyridinecarboxamide, N-[5-(cyclopentylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

- RN 673475-27-9 CAPLUS
- CN 3-Pyridinecarboxamide, N-[5-(cyclohexylamino)-3-cyclopropylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-30-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropy1-5-[[(1S)-1-(hydroxymethy1)-2-methylpropy1]amino]pyrazolo[1,5-a]pyrimidin-7-y1]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-31-5 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R)-1-(hydroxymethyl)-2-methylpropyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 673475-34-8 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[1-(hydroxymethyl)cyclopentyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 673475-35-9 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropy1-5-[[(1R,2S)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-y1]-, rel-(901) (CA INDEX NAME)

Relative stereochemistry.

RN 673475-36-0 CAPLUS

CN 3-Pyridinecarboxamide, N-[3-cyclopropyl-5-[[(1R,2R)-2-(hydroxymethyl)cyclohexyl]amino]pyrazolo[1,5-a]pyrimidin-7-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

GI

The title compds. [I; Q = SO2, CO; R = each (un)substituted aryl or AB heteroaryl; R2 = cyano, NR5R6, CO2R6, CONR5R6, OR6, SR6, SO2R7, SO2NR5R6, -N(R5)SO2R7, N(R5)COR7, N(R5)CONR5R6, alkynyl, heteroaryl, CF3, heterocyclyl, alkynylalkyl, cycloalkyl, (un)substituted alkyl; R3 = H, halogen, NR5R6, CONR5R6, each (un) substituted alkyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl, etc.; R4 = H, halo, alkyl; R5 = H, alkyl; R6 = H, each (un) substituted alkyl, aryl, arylalkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, or heteroarylalkyl; or R5 and R6 in the moiety -NR5R6, may be joined together to form an (un)substituted cycloalkyl or heterocyclyl] or pharmaceutically acceptable salts or solvates thereof are prepared In its many embodiments, the present invention also provides methods of preparing such compds., pharmaceutical compns. containing one or more such compds. I, methods of preparing pharmaceutical formulations comprising one or more such compds., and methods of treatment, prevention, inhibition, or amelioration of one or more diseases associated with cyclin dependent kinase using such compds. I or pharmaceutical compns. The disease associated with cyclin dependent kinase is selected from the group consisting of; (1) cancer of the bladder, breast, colon, kidney, liver, lung, small cell lung cancer, esophagus, gall bladder, ovary, pancreas, stomach, cervix, thyroid, prostate, and skin, including squamous cell carcinoma; (2) leukemia, acute lymphocytic leukemia, acute lymphoblastic leukemia, B-cell lymphoma, T-cell lymphoma, Hodgkin's lymphoma, non-Hodgkin's lymphoma, hairy cell lymphoma and Burkitt's lymphoma; (3) acute and chronic myelogenous leukemia,

myelodysplastic syndrome and promyelocytic leukemia; (4) fibrosarcoma and rhabdomyosarcoma; (5) astrocytoma, neuroblastoma, glioma and schwannomas; and (6) melanoma, seminoma, teratocarcinoma, osteosarcoma, xeroderma pigmentosum, keratoacanthoma, thyroid follicular cancer and Kaposi's sarcoma.

5

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:913167 CAPLUS

DOCUMENT NUMBER: 139:381505

TITLE: Preparation of pyrazolopyrimidines for preventing or

treating herpes virus infection

INVENTOR(S): Gudmundsson, Kristjan S.; Johns, Brian A.

KIND DATE

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 61 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT | INFORMATION: |
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|        | mmarm are    |

| PATENT NO. |       |      |      |      |      |      |      |      |     | LICAT |       |      |     |     |     |      |       |   |
|------------|-------|------|------|------|------|------|------|------|-----|-------|-------|------|-----|-----|-----|------|-------|---|
| WO         | 2003  | 0954 | 55   |      | A2   |      | 2003 | 1120 |     |       | 2003- |      |     |     |     |      |       |   |
| WO         | 2003  |      |      |      |      |      |      |      |     |       |       |      |     |     |     |      |       |   |
|            | W:    |      |      |      |      |      |      |      |     |       | , BG, |      |     |     |     |      |       |   |
|            |       | CO,  | CR,  | CU,  | CZ,  | DE,  | DK,  | DM,  | DΖ, | EC    | , EE, | ES,  | FΙ, | GB, | GD, | GE,  | GH,   |   |
|            |       | GM,  | HR,  | HU,  | ID,  | IL,  | IN,  | IS,  | JP, | KE    | , KG, | KΡ,  | KR, | ΚZ, | LC, | LK,  | LR,   |   |
|            |       | LS,  | LT,  | LU,  | LV,  | MA,  | MD,  | MG,  | MK, | MN    | , MW, | MX,  | MZ, | NI, | NO, | NZ,  | OM,   |   |
|            |       | PH,  | PL,  | PT,  | RO,  | RU,  | SC,  | SD,  | SE, | SG    | , SK, | SL,  | ΤJ, | TM, | TN, | TR,  | TT,   |   |
|            |       | TZ,  | UA,  | UG,  | US,  | UZ,  | VC,  | VN,  | YU, | ZA    | , ZM, | ZW   |     |     |     |      |       |   |
|            | RW:   | GH,  | GM,  | KE,  | LS,  | MW,  | MZ,  | SD,  | SL, | SZ    | , TZ, | UG,  | ZM, | ZW, | AM, | AZ,  | BY,   |   |
|            |       | KG,  | ΚZ,  | MD,  | RU,  | ΤJ,  | TM,  | AT,  | BE, | BG    | , CH, | CY,  | CZ, | DE, | DK, | EE,  | ES,   |   |
|            |       | FΙ,  | FR,  | GB,  | GR,  | HU,  | ΙE,  | IT,  | LU, | MC    | , NL, | PT,  | RO, | SE, | SI, | SK,  | TR,   |   |
|            |       | BF,  | ВJ,  | CF,  | CG,  | CI,  | CM,  | GA,  | GN, | GQ    | , GW, | ML,  | MR, | NE, | SN, | TD,  | TG    |   |
| AU         | 2003  | 2287 | 70   |      | A1   |      | 2003 | 1111 |     | AU    | 2003- | 2287 | 70  |     | 2   | 0030 | 430 < | : |
|            |       |      |      |      |      |      |      |      |     | EΡ    | 2003- | 7265 | 40  |     | 2   | 0030 | 430 < | : |
| ΕP         | 1504  | 004  |      |      | В1   |      | 2007 | 0627 |     |       |       |      |     |     |     |      |       |   |
|            | R:    | AT,  | BE,  | CH,  | DE,  | DK,  | ES,  | FR,  | GB, | GR    | , IT, | LI,  | LU, | NL, | SE, | MC,  | PT,   |   |
|            |       | IE,  | SI,  | LT,  | LV,  | FI,  | RO,  | MK,  | CY, | AL    | , TR, | BG,  | CZ, | EE, | HU, | SK   |       |   |
| JP         | 2005  | 5299 | 19   |      | T    |      | 2005 | 1006 |     | JP    | 2004- | 5034 | 69  |     | 2   | 0030 | 430 < | · |
|            |       |      |      |      |      |      |      |      |     |       | 2003- |      |     |     |     |      |       |   |
| US         | 2005  | 2031 | 06   |      | A1   |      | 2005 | 0915 |     | US    | 2004- | 5129 | 16  |     | 2   | 0041 | 029 < |   |
| RIT        | Y APP | LN.  | INFO | . :  |      |      |      |      |     |       | 2002- |      |     |     |     |      |       | · |
|            |       |      |      |      |      |      |      |      |     | WO    | 2003- | US13 | 395 |     | W 2 | 0030 | 430   |   |
| R SC       | DURCE | (S): |      |      | MAR  | PAT  | 139: | 3815 | 05  |       |       |      |     |     |     |      |       |   |
| 62         | 5095- | 79-6 | P 62 | 5095 | -80- | 9P 6 | 2509 | 5-81 | -0P |       |       |      |     |     |     |      |       |   |
| 62         | 5095- | 82-1 | P    |      |      |      |      |      |     |       |       |      |     |     |     |      |       |   |
|            |       |      |      |      |      |      |      |      |     |       |       |      |     |     |     |      |       |   |

ADDITORTION NO

DATE

OTH

PRI

ΙT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines for preventing or treating herpes virus infection)

RN 625095-79-6 CAPLUS

Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-CN pyridinyl]-2-phenyl- (9CI) (CA INDEX NAME)

- RN 625095-80-9 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyc
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyridinyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

- RN 625095-81-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4pyridinyl]-2-(4-fluorophenyl)- (9CI) (CA INDEX NAME)

- RN 625095-82-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopentyl-3-[2-(cyclopentylamino)-4-pyrimidinyl]-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

IT 625095-87-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of pyrazolopyrimidines for preventing or treating herpes virus infection)

RN 625095-87-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclopenty1-2-(4-methoxyphenyl)-3-[2-(methylthio)-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; p = 1-3; Rl = halo, alkyl, cycloalkyl, etc.; Y = N, CH; R2 = aryl, 5-6 membered heterocyclyl or heteroaryl, aryloxy, etc.; R3, R4 = H, halo, alkyl, aryl, etc.; ring A = aryl, 5-10 membered heterocyclyl or heteroaryl; q = 0-5; R5 = halo, alkyl, cycloalkyl, aryl, etc.], useful for the prophylaxis or treatment of a herpes viral infection in an animal, were prepared Thus, coupling 3-bromo-2-phenyl-7-(pyrrolidin-1-

yl)pyrazolo[1,5-a]pyrimidine with 2-fluoropyridin-4-ylboronic acid (prepns. given) in the presence of PdCl2(PPh3)2, Na2CO3 and a few drops of H2O in DMF afforded 32% II which showed IC50 of 28  $\mu$ M against HSV-1. The pharmaceutical compns. containing the compds. I are claimed.

L5 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:875291 CAPLUS

DOCUMENT NUMBER: 139:350751

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as NAD(P)H oxidase inhibitors

INVENTOR(S): Seno, Kaoru; Nishi, Koichi; Matsuo, Yoshiyuki;

Fujishita, Toshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan

SOURCE: PCT Int. Appl., 240 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION: DATENT NO

| WO 2003091256 A1 20031106 WO 2003-JF5024 20030418 < W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, II, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, |
|--|
| CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,<br>GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,<br>LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  |
| GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  |
| LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  |
|  |
| PH. PL. PT. RO. RU. SC. SD. SE. SG. SK. SL. TJ. TM. TN. TR. TT.  |
|  |
| TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW   |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  |
| KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  |
| FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  |
| BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG   |
| CA 2483306 A1 20031106 CA 2003-2483306 20030418 <  |
| AU 2003227437 A1 20031110 AU 2003-227437 20030418 <  |
| EP 1505068 A1 20050209 EP 2003-717663 20030418 <   |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,   |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK   |
| BR 2003009475 A 20050301 BR 2003-9475 20030418 <   |
| CN 1662537 A 20050831 CN 2003-814483 20030418 <  |
| MX 2004PA10441 A 20050214 MX 2004-PA10441 20041022 <   |
| US 2006089362 A1 20060427 US 2005-511840 20050923 <  |
| PRIORITY APPLN. INFO.: JP 2002-121519 A 20020423 <   |
| WO 2003-JP5024 W 20030418  |
| OTHER SOURCE(S): MARPAT 139:350751   |
| IT 619305-80-5 619306-08-0 619306-32-0   |
| 619306-36-4  |

RL: PAC (Pharmacological activity); BIOL (Biological study) (preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase

inhibitors) RN 619305-80-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(methylamino)-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 619306-08-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(cyclopropylamino)-N-[3-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

RN 619306-32-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chloropheny1)-7-(cyclopentylamino)-N-[2-(1-piperaziny1)pheny1]- (9CI) (CA INDEX NAME)

RN 619306-36-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-(dimethylamino)-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

619304-52-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolo[1,5-a]pyrimidine derivs. as NAD(P)H oxidase inhibitors)

RN

619304-52-8 CAPLUS
Pyrazolo[1,5-a]pyrimidine-5-carboxamide, 3-(3-chlorophenyl)-7-[(4-CN fluorophenyl)amino]-N-[2-(1-piperazinyl)phenyl]- (9CI) (CA INDEX NAME)

GI

AB Title compds. I (R1, R2, R3, R4, R5 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, , aryl, heteroaryl, etc.) and their pharmaceutically acceptable salts, useful in the prevention of or treatments for diseases relating to NAD(P)H, are prepared Thus, N-2-cyclohexylphenyl 3-(3-chlorophenyl)pyrazolo[1,5-a]pyrimidin-5-amide was prepared in several steps from Et 7-chloropyrazolo[1,5-a]pyrimidine-5-carboxylate. THERE ARE 109 CITED REFERENCES AVAILABLE FOR REFERENCE COUNT: 109 THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L5 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:716091 CAPLUS

DOCUMENT NUMBER: 137:232665

TITLE: A process for the preparation of a homochiral

corticotropin releasing factor receptor ligand INVENTOR(S): Gilligan, Paul J.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Pharma Company, USA

SOURCE: PCT Int. Appl., 44 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT N      | 10.    |        | KIN | D   | DATE |      |     | APPL | ICAT: | ION  | NO. |     | D.   | ATE   |       |
|---------------|--------|--------|-----|-----|------|------|-----|------|-------|------|-----|-----|------|-------|-------|
|               |        |        |     | -   |      |      |     |      |       |      |     |     | -    |       |       |
| WO 20020      | 72101  |        | A1  |     | 2002 | 0919 | 1   | WO 2 | 002-  | US68 | 3 4 |     | 2    | 0020  | 306 < |
| W:            | AE, AG | G, AL, | AM, | AT, | AU,  | AZ,  | BA, | BB,  | BG,   | BR,  | BY, | BZ, | CA,  | CH,   | CN,   |
|               | CO, CI | R, CU, | CZ, | DE, | DK,  | DM,  | DZ, | EC,  | EE,   | ES,  | FI, | GB, | GD,  | GE,   | GH,   |
|               | GM, HI | R, HU, | ID, | IL, | IN,  | IS,  | JP, | KE,  | KG,   | KP,  | KR, | KZ, | LC,  | LK,   | LR,   |
|               | LS, L  | T, LU, | LV, | MA, | MD,  | MG,  | MK, | MN,  | MW,   | MX,  | MZ, | NO, | NZ,  | OM,   | PH,   |
|               | PL, P  | T, RO, | RU, | SD, | SE,  | SG,  | SI, | SK,  | SL,   | ТJ,  | TM, | TN, | TR,  | TT,   | TZ,   |
|               | UA, UC | G, UZ, | VN, | YU, | ZA,  | ZM,  | zw  |      |       |      |     |     |      |       |       |
| RW:           | GH, GI | M, KE, | LS, | MW, | MZ,  | SD,  | SL, | SZ,  | TZ,   | UG,  | ZM, | ZW, | ΑT,  | BE,   | CH,   |
|               | CY, DI | E, DK, | ES, | FI, | FR,  | GB,  | GR, | IE,  | IT,   | LU,  | MC, | NL, | PT,  | SE,   | TR,   |
|               | BF, B  | J, CF, | CG, | CI, | CM,  | GA,  | GN, | GQ,  | GW,   | ML,  | MR, | NE, | SN,  | TD,   | TG    |
| AU 20022      | 240578 |        | A1  |     | 2002 | 0924 | 1   | AU 2 | 002-  | 2405 | 78  |     | 2    | 0020  | 306 < |
| PRIORITY APPI | N. IN  | FO.:   |     |     |      |      | 1   | US 2 | 001-  | 2753 | 31P | 1   | P 2  | 00103 | 313 < |
|               |        |        |     |     |      |      | 1   | WO 2 | 002-1 | US68 | 34  | 1   | vi 2 | 00203 | 306 < |

CASREACT 137:232665 OTHER SOURCE(S):

457911-55-6P, (-)-7-((R)-Butan-2-ylamino)-2,5-dimethyl-3-(2-methyl-

4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(CRF antagonist; process for preparation of a homochiral corticotropin releasing factor receptor ligand)

RN 457911-55-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5dimethyl-N-[(1R)-1-methylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

AB A process for the preparation of corticotropin releasing factor receptor I was disclosed. 2-Methyl-4-methoxybenzyl bromide (prepn given) was converted to the nitrile (DMF/EtOHaq, NaCN) and acetylated (BtOAc, Na°, reflux) and reacted with hydrazine to give an intermediate pyrazole. This was reacted with ft acetocaetate (BOAc, reflux) to form 7-hydroxy-2,5-dimethyl-3-(2-methyl-4-methoxyphenyl)pyrazolo[1,5-a]pyrimidine (II). II was treated with POCI3 (PDMe, DIEA) and the chloride displaced with (R)-2-butylamine to afford I. I is used in treating anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress.

REFERENCE COUNT:

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2002:184863 CAPLUS

DOCUMENT NUMBER: 136:221516

TITLE: Hair growth stimulants containing CRF1 receptor

antagonists

INVENTOR(S): Ikeda, Akiko; Okuyama, Shigeru; Shibasaki, Tamotsu;

Kawana, Seiji; Kaneko, Katsumi

12

PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 39 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

|          | ENT : |      |       |     | KIN  | D   | DATE |      |      |      |      | ION   |     |      |      | ATE  |     |   |
|----------|-------|------|-------|-----|------|-----|------|------|------|------|------|-------|-----|------|------|------|-----|---|
|          | 2002  |      |       |     | A1   |     | 2002 | 0314 |      |      |      |       |     |      |      |      |     | < |
|          |       |      |       |     |      |     | AU,  |      |      |      |      |       |     |      |      |      |     |   |
|          |       |      |       |     |      |     | DK,  |      |      |      |      |       |     |      |      |      |     |   |
|          |       | GM,  | HR,   | HU, | ID,  | IL, | IN,  | IS,  | JP,  | KE,  | KG,  | KR,   | KZ, | LC,  | LK,  | LR,  | LS, |   |
|          |       | LT,  | LU,   | LV, | MA,  | MD, | MG,  | MK,  | MN,  | MW,  | MX,  | MZ,   | NO, | NZ,  | PH,  | PL,  | PT, |   |
|          |       | RO,  | RU,   | SD, | SE,  | SG, | SI,  | SK,  | SL,  | ТJ,  | TM,  | TR,   | TT, | TZ,  | UA,  | UG,  | US, |   |
|          |       | UZ,  | VN,   | YU, | ZA,  | ZW, | AM,  | ΑZ,  | ΒY,  | KG,  | ΚZ,  | MD,   | RU, | ΤJ,  | TM   |      |     |   |
|          | RW:   |      |       |     |      |     | MZ,  |      |      |      |      |       |     |      |      |      |     |   |
|          |       |      |       |     |      |     | GB,  |      |      |      |      |       |     |      |      |      | BF, |   |
|          |       |      |       |     |      |     | GΑ,  |      |      |      |      |       |     |      |      |      |     |   |
|          | 2001  |      |       |     | A5   |     | 2002 | 0322 |      |      |      |       |     |      |      |      |     |   |
| PRIORITY | APP   | LN.  | INFO  | . : |      |     |      |      |      | JP 2 |      |       |     |      |      |      |     |   |
|          |       |      |       |     |      |     |      |      |      | WO 2 | 001- | JP75. | 37  |      | W 2  | 0010 | 831 | < |
| OTHER SO |       |      |       |     | MAR  | PAT | 136: | 2215 | 16   |      |      |       |     |      |      |      |     |   |
|          | 579-  |      |       |     |      |     |      |      |      |      |      |       |     |      |      |      |     |   |
| RL:      | cos   |      |       |     |      |     |      |      |      |      |      |       |     |      |      |      |     |   |
|          | (hai  | r ar | owt h | sti | mula | nts | cont | aini | na C | RF1  | rece | ntor  | ant | agon | ists | )    |     |   |

imulants containing CRF1 receptor antagonists)

202579-74-6 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Disclosed are hair growth stimulants containing a corticotropin release factor AB (CRF) 1 receptor antagonist as the active ingredient. A CRF1 receptor antagonist 2-[N-(2-methylthio-4-isopropylphenyl)-N-ethylamino]-4-[4-(3fluorophenyl)-1,2,3,6-tetrahydropyridine-1-yl]-6-methylpyrimidine showed keratinocyte cell proliferation promoting effect in cultured human epidermal keratinocyte cells.

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:813420 CAPLUS

DOCUMENT NUMBER: 135:344507 TITLE:

Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists INVENTOR(S): He, Ligi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA

SOURCE: U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 899,242. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

| PATENT | INFOR | RMATIO | ON: |
|--------|-------|--------|-----|

|  | TENT                 |   |     |     |                        |     | DATE  |  |     | APE  | PL1                              | CAT  | ION  | NO.                 |      |          | DATE                             |  |                      |
|--|----------------------|---|-----|-----|------------------------|-----|---|--|-----|--|----------------------------------|--|--|---------------------|------|----------|----------------------------------|--|----------------------|
| US<br>CA<br>US<br>ZA<br>US<br>LT<br>CA |                      | 124<br>925<br>289<br>603<br>809<br>613<br>868<br>AU,<br>RO, | BR, | CA, | A1<br>A1<br>CN,<br>SK, | CZ, | 2001<br>1998<br>2000<br>1999<br>2000<br>2000<br>1999<br>1999<br>EE,<br>VN,<br>DK, | 1106<br>0129<br>0926<br>0125<br>1024<br>0725<br>0805<br>HU,<br>AM, | IL, | US<br>CA<br>US<br>ZA<br>US<br>LT<br>CA<br>WO<br>JE | 19<br>19<br>19<br>19<br>19<br>19 | 98-<br>97-<br>97-<br>98-<br>99-<br>99-<br>KR,<br>KG, | 1473<br>2532<br>8992<br>6603<br>1499<br>8<br>2314<br>US18<br>LT, | LV,<br>MD,          | MX,  | NO<br>TJ | 1999(<br>1999(<br>1999(<br>, NZ, | )128<br>)723<br>)723<br>)724<br>)128<br>)128<br>)128<br>PL | < < < < < < < < < <- |
| AU                                     |                      | PT.   | SE  |     |                        |     | 1999  |  |     |  |                                  |  |  | 17                  |      |          | 19990                            |  |                      |
| EP                                     | 7488<br>1049<br>1049 | 699   |     |     | A1                     |     | 2002<br>2000<br>2004  | 1108   |     | EP   | 19                               | 99-  | 9043   | 882                 |      |          | 19990                            | 128  | <                    |
|  |                      | AT,   | BE, |     |                        | DK, | ES,   |  | GB, | GF   | ٦,                               | IT,  | LI,  | LU,                 | NL,  | SE       | , PT,                            | IE   | ,                    |
| BD                                     | gans                 | 206   | ш., | ш., | 7                      | 110 | 2000  | 1205   |     | BD   | 10                               | 199-   | 8206   | :                   |      |          | 19991                            | 1128   | /                    |
| .TP                                    | 2002                 | 5019  | 22  |     | т                      |     | 2000  | 1122   |     | .TP  | 20                               | 100-   | 5293   | 35                  |      |          | 19991                            | 1128   | ¿                    |
| 117                                    | 5050                 | 70  |     |     | 7                      |     | 2002  | 0020   |     |  |                                  |  |  | 179                 |      |          | 19990                            |  |                      |
| FD                                     | 13///                | 770   |     |     | 7.1                    |     | 2000<br>2002<br>2003<br>2003<br>2005  | 0023   |     |  |                                  |  |  | 37                  |      |          | 19990                            |  |                      |
| ED                                     | 13/1/                | 770   |     |     | D1                     |     | 2005  | 0917   |     | EF   | 20                               | 103-   | 1300   | , ,                 |      |          | 13330                            | 1120   | \                    |
| EF                                     | 1344                 | 775   | DF  | CH  | DE                     | DV  | ES.   | DOIO   | CD  | CE   |                                  | тт   | тт   | TIT                 | NIT  | CF       | DT                               | TE   |                      |
|  | к.                   |   |     |     | FI,                    |     |   | E E  | GD, | Gr   | 1                                | 11,  | шт,  | no,                 | 1411 | 210      | , = 1,                           | 111  | ,                    |
| ΔТ                                     | 2648                 |   |     |     |                        |     |   | 0515   |     | ΔТ   | 10                               | 99-  | 9043   | 82                  |      |          | 19990                            | 1128   | <                    |
| PT                                     | 1049                 | 699   |     |     | T                      |     | 2004  | 0831   |     | PT   | 10                               | 199-   | 9043   | 882                 |      |          | 19990                            |  |                      |
| CN                                     | 1542                 | 010   |     |     | A<br>T3<br>A1          |     | 2004  | 1103   |     | CN   | 20                               | 103-   | 1012   | 2546                |      |          | 19990                            |  |                      |
|  | 2218                 |   |     |     | тз                     |     | 2004  |  |     | ES   | 10                               | 199-   | 9043   | 182                 |      |          | 19990                            |  |                      |
|  | 1110                 |   |     |     | Δ1                     |     | 2005  |  |     | SG   | 20                               | 102-   | 2002   | 2546<br>82<br>20455 | 6    |          | 19990                            |  |                      |
|  | 3016                 |   |     |     | т                      |     | 2005  |  |     | AΤ   | 20                               | 103-   | 7588   | 7                   |      |          | 19990                            |  |                      |
|  | 1344                 |   |     |     | T                      |     | 2005  |  |     | PT   | 20                               | 103-   | 7588   | 7                   |      |          | 19990                            |  |                      |
|  | 2247                 | 478   |     |     | T3                     |     | 2006  |  |     | ES   | 20                               | 103-   | 7588   | 37                  |      |          | 19990                            |  |                      |
|  |                      |   |     |     |                        |     | 2003  |  |     | TW   | 10                               | 99-  | 8810   | 2636                |      |          | 19990                            |  |                      |
| MX                                     | 5203<br>2000         | PAG6  | 195 |     | A                      |     | 2001  |  |     | MX   | 21                               | 100-1  | PAGA   | 195                 |      |          | 20000                            |  |                      |
| IIS                                    | 2003                 | 0088  | 85  |     | Δ1                     |     | 2003  | 0109   |     | IIS  | 20                               | 101-   | 930  | 82                  |      |          | 20010                            |  |                      |
|  | 7094                 |   |     |     | В1                     |     | 2006  | 0822   |     | IIS  | 20                               | 103-   | 7032   | 35                  |      |          | 20031                            |  |                      |
| HK                                     | 1060                 | 348   |     |     | A 1                    |     | 2005  | 1021   |     | HK   | 20                               | 104-   | 1019   | 85                  |      |          | 20040                            | 1317   | <                    |
| JP                                     | 2005                 | 0972  | 57  |     | A                      |     | 2005  | 0414   |     | JP   | 20                               | 004-   | 2164   | 183                 |      |          | 20040                            | 1723   | <                    |
| US                                     | 2006                 | 2527  | 56  |     | A1                     |     | 2006  | 1109   |     | IIS  | 20                               | 06-  | 4776   | 80                  |      |          | 20060                            | 1629   | ·                    |
| RIORIT                                 |                      |   |     |     |                        |     |   |  |     | US   | 19                               | 96-  | 2329   | 0P                  |      | P        | 19960                            | 1724   | <                    |
|  |                      |   |     |     |                        |     |   |  |     | US   | 19                               | 97-  | 8992   | 0P<br>242           |      | A2       | 19970                            | 723  | <                    |
|  |                      |   |     |     |                        |     |   |  |     |  |                                  |  |  |                     |      |          |                                  |  |                      |

PR

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US 1996-686047
                    A 19960724 <--
CA 1997-2259583
                    A3 19970723 <--
JP 1998-507233
                    A3 19970723 <--
                       19980128 <--
US 1998-14734
                    Α
US 1998-15001
                    Α
                       19980128 <--
US 1998-15002
                       19980128 <--
                    Α
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                    A3 19990128 <--
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                       19990128 <--
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                    B1 20010816 <--
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                    A1 20031107
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OTHER SOURCE(S): MARPAT 135:344507
IT 202579-57-5P 202579-60-0P 202579-62-2P
202579-63-3P 202579-64-4P 202579-65-5P
202579-69-9P 202579-77-5P 202579-74-6P
202579-75-7P 202579-77-9P 202579-78-0P
202579-80-4P 202579-87-1P 202579-82-6P
202579-84-8P 202579-887-1P 202579-91-79
202579-92-8P 202579-91-79

202580-25-4P 202580-26-5P 202580-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists)

RN 202579-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1- (methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-63-3 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)
- MeO-CH2
  MeO-CH2-CH-NH
  Me
  N
  Me
  C1
- RN 202579-64-4 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)2,5-dimethyl- (9C1) (CA INDEX NAME)
- Et2CH-NH Me Me
- RN 202579-65-5 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1(methoxymethyl)lethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH-NH

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylpheny1)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH-NH

RN 202579-73-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## ${\tt MeO-CH_2}$

Et-CH-NH

RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9Cl) (CA INDEX NAME)

Absolute stereochemistry.

- RN 202579-80-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-81-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-82-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-84-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-

## (methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

Br

- AB The title compds. II or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alkyl, alkenyl, etc.; R1 = H, alkyl, alkenyl, etc.; R2 = H, alkyl, alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.]; corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heatr-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylaphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POCI3 and N,N-dimethylanline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-C1CSGH3].
- REFERENCE COUNT: 103 THERE ARE 103 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:796238 CAPLUS

DOCUMENT NUMBER: 135:339292

TITLE: Combinations of corticotropin releasing factor

antagonists and growth hormone secretagogues
INVENTOR(S): Fossa, Anthony A.

INVENTOR(S): Fossa, Anthony A-PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 58 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

LANGUAGE: Eng FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PA:    | TENT  | NO.  |      |     | KIN  | D   | DATE |       | APE    | LICAT  | I NOI | 10. |     | D.  | ATE  |     |   |
|--------|-------|------|------|-----|------|-----|------|-------|--------|--------|-------|-----|-----|-----|------|-----|---|
|        |       |      |      |     |      | _   |      |       |        |        |       |     |     | -   |      |     |   |
| EP     | 1149  | 583  |      |     | A2   |     | 2001 | 1031  | EP     | 2001-  | 30303 | 33  |     | 2   | 0010 | 330 | < |
| EP     | 1149  | 583  |      |     | A3   |     | 2001 | 1114  |        |        |       |     |     |     |      |     |   |
|        | R:    | AT,  | BE,  | CH, | DE,  | DK, | ES,  | FR,   | GB, GE | R, IT, | LI,   | LU, | NL, | SE, | MC,  | PT, |   |
|        |       | ΙE,  | SI,  | LT, | LV,  | FI, | RO   |       |        |        |       |     |     |     |      |     |   |
| BR     | 2001  | 0014 | 56   |     | A    |     | 2001 | 1204  | BR     | 2001-  | 1456  |     |     | 2   | 0010 | 411 | < |
| MX     | 2001  | PA03 | 855  |     | A    |     | 2002 | 0604  | MX     | 2001-  | PA385 | 55  |     | 2   | 0010 | 111 | < |
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| US     | 2001  | 0416 | 73   |     | A1   |     | 2001 | 1115  | US     | 2001-  | 8344  | 77  |     | 2   | 0010 | 113 | < |
| IORIT: | / APP | LN.  | INFO | . : |      |     |      |       | US     | 2000-  | 19669 | 98P |     | P 2 | 0000 | 413 | < |
| HER SO | DURCE | (S): |      |     | MARI | PAT | 135: | 33929 | 32     |        |       |     |     |     |      |     |   |

OTHER SOURCE(S): MARPAT 135:33929 IT 202579-62-2 202579-64-4 203924-40-7

203924-41-8

PRI

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combinations of corticotropin releasing factor antagonists and growth hormone secretagogues)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 203924-40-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

- RN 203924-41-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

AB This invention is directed to pharmaceutical compns. comprising corticotropin releasing factor antagonist and growth hormone or growth hormone secretagogues, prodrugs thereof, or pharmaceutically acceptable salts of said compds. or said prodrugs (Markush structures given). The invention is also directed to the use of such compns. in the treatment or prevention of osteoporosis and heart-related diseases (including congestive heart failure) in mammals, particularly humans (no data).

L5 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

2001:435078 CAPLUS ACCESSION NUMBER: 135:61346

DOCUMENT NUMBER:

TITLE: Preparation of fused heterotricyclic compounds as antagonists against corticotropin-releasing factor

receptor INVENTOR(S): Hibi, Shiqeki; Hoshino, Yorihisa; Yoshiuchi, Tatsuya; Shin, Kogyoku; Kikuchi, Kouichi; Soejima, Motohiro; Tabata, Mutsuko; Takahashi, Yoshinori; Shibata,

Hisashi; Hida, Takavuki; Hirakawa, Tetsuva; Ino,

Mitsuhiro

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan; et al.

SOURCE: PCT Int. Appl., 255 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

|         | TENT NO.  |      |     |     |     |       |      |     |       |       |      |     |     |      |       |     |   |
|---------|---|------|-----|-----|-----|-------|------|-----|-------|-------|------|-----|-----|------|-------|-----|---|
|         | 20010422  | 47   |     | A1  |     | 2001  | 0614 |     | WO 2  | -000  | JP88 | 11  |     |      |       |     |   |
|         | W: AU,  | BK,  | CA, | CN, | HU, | , IL, | KK,  | MA, | NO,   | NZ,   | KU,  | US, | ZA  | T 11 | 140   | NIT |   |
|         | RW: AT,   | SE,  | TR  | CI, | DE, | , DK, | ES,  | rı, | PR,   | GB,   | GK,  | ır, | 11, | LU,  | PIC,  | NL, |   |
| .TP     | 20012338  | 76   | 441 | A   |     | 2001  | 0828 |     | .TP 2 | 2000- | 3758 | 11  |     |      | 20001 | 211 | < |
| CA      | 20012338<br>2394120                                     |      |     | A1  |     | 2001  | 0614 |     | CA 2  | 2000- | 2394 | 120 |     |      | 20001 | 213 | < |
| AII     | 20010202  | 3.5  |     | A.5 |     | 2001  | 0618 |     | AII 2 | 2001- | 2023 | 5   |     | -    | 20001 | 213 | < |
| TIA.    | 773258  |      |     | R2  |     | 2004  | 0520 |     |       |       |      |     |     |      |       |     |   |
| EP      | 1238979   |      |     | A1  |     | 2002  | 0911 |     | EP 2  | 2000- | 9834 | 79  |     |      | 20001 | 213 | < |
| EP      | 1238979<br>1238979                                      |      |     | B1  |     | 2005  | 0302 |     |       |       |      |     |     |      |       |     |   |
|         | R: AT,  | BE,  | CH, | DE. | DK. | ES.   | FR.  | GB, | GR.   | IT.   | LI,  | LU, | NL, | SE.  | MC.   | PT. |   |
|         | IE.   | FI.  | CY. | TR  |     |       |      |     |       |       |      |     |     |      |       |     |   |
| EP      | 1408040<br>1408040                                      |      |     | A1  |     | 2004  | 0414 |     | EP 2  | 2003- | 2905 | 8   |     | - :  | 20001 | 213 | < |
| EP      | 1408040   |      |     | B1  |     | 2005  | 0727 |     |       |       |      |     |     |      |       |     |   |
|         | R: AT,  | BE,  | CH, | DE, | DK, | ES,   | FR,  | GB, | GR,   | IT,   | LI,  | LU, | NL, | SE,  | MC,   | PT, |   |
|         | IE,   | FI,  | CY, | TR  |     |       |      |     |       |       |      |     |     |      |       |     |   |
| NZ      | 519241  |      |     | A   |     | 2004  | 1224 |     | NZ 2  | 2000- | 5192 | 41  |     | - 2  | 20001 | 213 | < |
| AT      | 290006  |      |     | T   |     | 2005  | 0315 |     | AT 2  | -0000 | 9834 | 79  |     | - 2  | 20001 | 213 | < |
| ES      | 1E,<br>519241<br>290006<br>2234704<br>1238979<br>300546 |      |     | Т3  |     | 2005  | 0701 |     | ES 2  | 2000- | 9834 | 79  |     | - 2  | 20001 | 213 | < |
| PT      | 1238979   |      |     | T   |     | 2005  | 0729 |     | PT 2  | 2000- | 9834 | 79  |     | - 2  | 20001 | 213 | < |
| AT      | 300546  |      |     | T   |     | 2005  | 0815 |     | AT 2  | 2003- | 2905 | 8   |     | - 2  | 20001 | 213 | < |
| 05      | 20030782  | //   |     | MI  |     | 2003  | 0424 |     | US 2  | 2002- | 1488 | 36  |     | - 2  | 20020 | 605 | < |
|         |   |      |     | B2  |     | 2005  |      |     |       |       |      |     |     |      |       |     |   |
| US      | 20050041  | 47   |     | A1  |     | 2005  |      |     | US 2  | 2004- | 9030 | 59  |     | - 2  | 20040 | 802 | < |
| US      | 6995163   |      |     | B2  |     | 2006  | 0207 |     |       |       |      |     |     |      |       |     |   |
|         | 20050041  |      |     |     |     |       |      |     | US 2  | 2004- | 9033 | 87  |     |      | 20040 | 802 | < |
| US      | 6927221   |      |     | B2  |     | 2005  | 0809 |     |       |       |      |     |     |      |       |     |   |
| PRIORIT | Y APPLN.  | INFO | .:  |     |     |       |      |     | JP 1  | 1999- | 3525 | 53  |     | A :  | 19991 | 213 | < |
|         | 6927221<br>Y APPLN.                                     |      |     |     |     |       |      |     |       | 2000- |      |     |     |      |       |     |   |
|         |   |      |     |     |     |       |      |     |       | 2000- |      |     |     |      |       |     |   |
|         |   |      |     |     |     |       |      |     | 05 2  | 2002- | 1488 | 36  |     | A3 7 | 20020 | 605 | < |

OTHER SOURCE(S): MARPAT 135:61346

<sup>344291-77-6</sup>P 344293-47-6P 344294-07-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

<sup>(</sup>preparation of fused heterotricyclic compds. as antagonists against corticotropin-releasing factor receptor for preventives or remedies for CRF and/or CRF receptor-related diseases)

- RN 344291-77-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 344293-47-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-acetic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)

- RN 344294-07-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-acetic acid, 7-[(1-ethylpropyl)amino]-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

GΙ

AB Compds. such as pyrazolo[1,5-a]pyrrolo[3,2-e]pyrimidine, dipyrazolo[1,5-a:4,3-e]pyrimidine, pyrrolo[3,2-c]quinoline, and pyrrolo[3,2-c][1,7]naphthyridine derivs. represented by general formula [I; A, B, D = N, O, S, (CR1R2)m, CO, CS, (un)substituted NH, SO, SO2 (wherein m = 0-4; R1, R2 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-6 alkoxy, C3-8 cycloalkyl, etc.); E, G = N, O, S, (CR6R7)p, CO, CS, (un) substituted NH, SO, SO2 (wherein R6, R7 = H, C1-6 alkyl, optionally C1-4 alkyl-substituted C3-5 cycloalkyl, optionally substituted aryl, or heteroaryl, etc.; p = 0, 1,2); K, L = C, N; the ring formed by K, E, G, J, and L represents an (un)saturated 5- or 6-membered ring; M = M = H, halo, cvano, (un) substituted C1-6 alkvl, (un) substituted NH, OR13, S(O) gR14, (un) substituted C2-10 alkenyl or alkynyl, (un) substituted C1-6 alkoxy, C1-6 alkylthio, aryl, or heteroaryl (wherein R13 = H, optionally substituted C1-6 alkyl, C1-4 alkylacyl, optionally substituted aryl-C1-4 alkyl or heteroaryl-C1-4 alkyl, or aryl-heteroaryl; R14 = C1-6 alkyl optionally substituted aryl-C1-4 alkyl, aryl, heteroaryl-C1-4 alkyl, or heteroaryl; q = 0, 1, 2); the solid line accompanied by a dotted line represents a single or a double bond] or pharmacol. acceptable salts thereof or their hydrates, which are also adenylate cyclase inhibitors, are prepared These compds. are useful for the prevention and/treatment of diseases related to corticotropin-releasing factor (CRF) and/or corticotropin-releasing factor receptor. The above diseases include depression, mania, child abuse due to depression, depression after child birth, anxiety, general anxiety, panic disorders, phobia, obsessive-compulsive disorders, post-traumatic-stress disorder, autism, emotional disorders, emotional disturbance, bipolar disorder, , schizophrenia, peptic ulcer, irritable bowel syndrome, ulcerative colitis, Crohn's disease, diarrhea, constipation, intestinal functional abnormality accompanied by stress, neurol, vomiting, Alzheimer's disease, neurodegenerative disease, multiple infarction dementia, and senile dementia, neurol. appetite depression, eating disorders, obesity, diabetes, alc. dependence, drug preference, alc. or drug withdrawal symptom. They also include insomnia, migraine headache, stress headache, muscular stress headache, ischemic nerve disorders, excitatory toxin nerve disorders, stroke, progressive supranuclear paralysis, amyotrophic lateral sclerosis, multiple sclerosis, muscle spasm, chronic fatigue syndrome, neurol. social growth-retardation, epilepsy, head injury, spinal injury, writer's cramp, torticollis spastica, cervicobrachial syndrome, Meniere's syndrome, vegetative dystonia, hair loss, neuropathy, hypertension, cardiovascular disorders, tachycardia, congestive heart attack, hyperpnea syndrome, bronchial asthma, apnea syndrome, sudden infant death syndrome, inflammatory disorders, pain, allergy, impotence, menopausal syndrome, fertilization disorder, sterility, cancer, immune function disorders during HIV infection or caused by stress, hemorrhagic stress, Cushing's disease, thyroid gland function abnormality, meningitis, acromegaly, incontinence, and osteoporosis, etc. Thus, a solution of 7-chloro-6-(2-chloroethyl)-3-mesityl-2,5-dimethylpyrazolo[1,5-a]pyrimidine and 3-aminopentane in Me Et ketone was refluxed for  $1\ h$  to give, after treatment with HGL in Et20, 8-(1-ethylpropyl)-3-mesityl-2,5-dimethyl-7,8-dihydro-6H-pyrazolo[1,5-a]pyrclo[3],2-e]pyrimidine hydrochloride (II). II showed IC50 of 100 nM for inhibiting the binding of [125I]sauvagine to human CRF receptor expressed in HBK 293 cells and showed IC50 of 900 nM against adenylic acid cyclase.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:338070 CAPLUS

DOCUMENT NUMBER: 134:336224

TITLE: Use of corticotropin releasing factor (CRF)

antagonists for treating syndrome X

INVENTOR(S): Chen, Yuhpyng Liang; Hamanaka, Ernest Seiichi

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT      | ENT  | NO.  |      |     | KIN |     | DATE |      | F   | APP1 | LICAT |      |     |     |    | DATE   |     |   |
|----------|------|------|------|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|----|--------|-----|---|
| EP       | 1097 | 709  |      |     | A2  |     | 2001 | 0509 | Е   | P :  | 2000- | 3094 | 41  |     |    | 20001  | 026 | < |
| EP       | 1097 | 709  |      |     | A3  |     | 2005 | 1221 |     |      |       |      |     |     |    |        |     |   |
|          | R:   | ΑT,  |      | CH, |     |     | ES,  |      |     |      | , IT, | LI,  | LU, | NL, | SE | MC,    | PT, |   |
|          |      | ΙE,  | SI,  | LT, | LV, | FI, | RO,  | MK,  | CY, | AL   |       |      |     |     |    |        |     |   |
| AU       | 7767 | 24   |      |     | B2  |     | 2004 | 0916 | P   | U :  | 2000- | 6669 | 5   |     |    | 200010 | 24  | < |
| ZA       | 2000 | 0060 | 80   |     | A   |     | 2002 | 0426 | 2   | A :  | 2000- | 6008 |     |     |    | 200010 | 026 | < |
| US       | 6589 | 947  |      |     | B1  |     | 2003 | 0708 | Ţ   | IS 2 | 2000- | 5968 | 22  |     |    | 200010 | 26  | < |
| CA       | 2325 | 069  |      |     | A1  |     | 2001 | 0429 | (   | : A  | 2000- | 2325 | 069 |     |    | 200010 | )27 | < |
| HU       | 2000 | 0419 | 1    |     | A2  |     | 2001 | 1228 | F   | IU : | 2000- | 4194 |     |     |    | 200010 | 027 | < |
| NZ       | 5078 | 25   |      |     | A   |     | 2004 | 1126 | N   | IZ : | 2000- | 5078 | 25  |     |    | 200010 | 27  | < |
| US       | 2007 | 1178 | 05   |     | A1  |     | 2007 | 0524 | Ţ   | IS 2 | 2006- | 5809 | 96  |     |    | 200610 | 013 | < |
| PRIORITY | APP  | LN.  | INFO | . : |     |     |      |      | Ţ   | IS : | 1999- | 1623 | 40P |     | P  | 199910 | 29  | < |
|          |      |      |      |     |     |     |      |      | Ţ   | IS 2 | 2000- | 5593 | 84  |     | A3 | 200004 | 127 | < |
|          |      |      |      |     |     |     |      |      | Ţ   | IS : | 2002- | 8017 | 4   |     | A1 | 200203 | 219 | < |
|          |      |      |      |     |     |     |      |      | Ţ   | IS : | 2003- | 7213 | 18  |     | A1 | 200313 | 125 |   |

OTHER SOURCE(S):

MARPAT 134:336224 202579-62-2 202579-64-4 203924-40-7

203924-41-8

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES

(CRF antagonist, alone or with glucocorticoid receptor antagonist, for treating syndrome X)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2.5-dimethvl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 203924-40-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

- RN 203924-41-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

## Et<sub>2</sub>CH-NH

AB Compns. and methods are provided for achieving a therapeutic effect, including the treatment or prevention of syndrome X in an animal, preferably a mammal including a human subject or a companion animal, using a CRF antagonist alone or together with a glucocorticoid receptor antagonist.

L5 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:247337 CAPLUS

DOCUMENT NUMBER: 134:280853

TITLE: Preparation of amino substituted pyrazolo[1,5-a]-1,5pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY

receptor antagonists INVENTOR(S):

Darrow, James W.; De Lombaert, Stephane; Blum, Charles; Tran, Jennifer; Giangiordano, Mark; Griffith,

David Andrew; Carpino, Philip Albert

PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc. PCT Int. Appl., 102 pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

|         | TENT   |      |      |     |     |     | DATE |      |     |      |       |      |     |     |     |      |     |   |
|---------|--------|------|------|-----|-----|-----|------|------|-----|------|-------|------|-----|-----|-----|------|-----|---|
| WO      | 2001   | 0233 | 88   |     | A2  |     | 2001 | 0405 |     |      |       |      |     |     |     |      |     | < |
|         | W:     | AE,  | AG,  | AL, | AM, | AT, | AU,  | AZ,  | BA, | BB,  | BG,   | BR,  | BY, | BZ, | CA, | CH,  | CN, |   |
|         |        | CR,  | CU,  | CZ, | DE, | DK, | DM,  | DZ,  | EE, | ES,  | FI,   | GB,  | GD, | GE, | GH, | GM,  | HR, |   |
|         |        | HU,  | ID,  | IL, | IN, | IS, | JP,  | KE,  | KG, | KP,  | KR,   | KZ,  | LC, | LK, | LR, | LS,  | LT, |   |
|         |        | LU,  | LV,  | MA, | MD, | MG, | MK,  | MN,  | MW, | MX,  | MZ,   | NO,  | NZ, | PL, | PT, | RO,  | RU, |   |
|         |        | SD,  | SE,  | SG, | SI, | SK, | SL,  | ΤJ,  | TM, | TR,  | TT,   | TZ,  | UA, | UG, | US, | UZ,  | VN, |   |
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|         | RW:    |      |      |     |     |     | MZ,  |      |     |      |       |      |     |     |     |      |     |   |
|         |        | DE,  | DK,  | ES, | FI, | FR, | GB,  | GR,  | ΙE, | IT,  | LU,   | MC,  | NL, | PT, | SE, | BF,  | ΒJ, |   |
|         |        |      | CG,  |     |     |     | GN,  |      |     |      |       |      |     |     |     |      |     |   |
|         | . 2379 |      |      |     | A1  |     | 2001 |      |     | CA 2 | 000-  | 2379 | 633 |     | 2   | 0000 | 929 | < |
|         | . 2379 |      |      |     |     |     |      |      |     |      |       |      |     |     |     |      |     |   |
|         | 1218   |      |      |     |     |     |      |      |     | EP 2 | 000-  | 9671 | 32  |     | 2   | 0000 | 929 | < |
| EP      | 1218   |      |      |     |     |     |      |      |     |      |       |      |     |     |     |      |     |   |
|         | R:     |      |      |     |     |     | ES,  |      |     |      | IT,   | LI,  | LU, | NL, | SE, | MC,  | PT, |   |
|         |        |      |      |     |     |     | RO,  |      |     |      |       |      |     |     |     |      |     |   |
|         | 6476   |      |      |     | B1  |     | 2002 |      |     |      |       |      |     |     |     |      |     |   |
|         | 2002   |      |      |     |     |     | 2003 |      |     |      |       |      |     |     |     |      |     |   |
| JP      | 2003   | 5103 | 26   |     | Т   |     | 2003 |      |     |      |       |      |     |     |     | 0000 |     |   |
|         | 3475   |      |      |     | Т   |     | 2006 |      |     |      | 000-  |      |     |     |     | 0000 |     |   |
|         | 2277   |      |      |     |     |     | 2007 |      |     |      | 000-  |      |     |     |     | 0000 |     |   |
|         | 1065   |      |      |     |     |     | 2002 |      |     |      | 002-  |      |     |     |     |      |     |   |
|         | 2002   |      |      |     |     |     | 2002 |      |     |      |       |      |     |     |     |      |     |   |
|         | 2002   |      |      |     |     |     | 2003 |      |     |      | 002-  |      |     |     |     | 0020 |     |   |
|         | 2007   |      |      |     | A   |     | 2007 | 0329 |     |      | 006-  |      |     |     |     | 0060 |     |   |
| PRIORIT | Y APP  | LN.  | INFO | .:  |     |     |      |      |     |      | 999-  |      |     |     |     |      |     |   |
|         |        |      |      |     |     |     |      |      |     |      | 001-  |      |     |     |     |      |     |   |
|         |        |      |      |     |     |     |      |      |     | WO 2 | 000-1 | US26 | 885 |     | W 2 | 0000 | 929 | < |

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MARPAT 134:280853
OTHER SOURCE(S):
    332225-19-1P 332225-26-0P 332225-31-7P
     332225-45-3P 332225-51-1P 332225-68-0P
     332225-74-8P 332225-80-6P 332225-86-2P
     332225-92-0P
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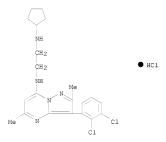
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists)

RN 332225-19-1 CAPLUS CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-cyclohexyl- (9CI) (CA INDEX NAME)

RN 332225-26-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,3-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



RN 332225-31-7 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-45-3 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-51-1 CAPLUS

1,2-Ethanediamine, N-cyclopenty1-N'-[2,5-dimethy1-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-y1]- (9CI) (CA INDEX NAME)

CN

RN 332225-68-0 CAPLUS

CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-74-8 CAPLUS

CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 33225-80-6 CAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-(2,6-dichloro-4-ethynylphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332225-86-2 CAPLUS
CN 1,2-Ethanediamine, N-cyclopentyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-y1)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

- RN 332225-92-0 CAPLUS
- CN 1,2-Ethanediamine, N-cyclohexyl-N'-[3-[2,6-dichloro-4-(1-cyclopenten-1-yl)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

PAGE 2-A

- IT 332179-38-1P 332227-43-7P
  - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
  - (preparation of amino substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as NPY receptor antagonists) 332179-38-1 CAPLUS
- RN 332179-38-1 CAPLU CN 1,2-Ethanediamine.
- CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

H<sub>2</sub>N-СH<sub>2</sub>-СH<sub>2</sub>-NH

RN 332227-43-7 CAPLUS

CN Carbamic acid, cyclopentyl[2-[[3-(2,3-dichloropheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-, 1,1-dimethylethyl ester (9C1) (CA INDEX NAME)

GI

AB The title compds. [I; N, CR14; Rl = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, cycloalkyl, etc.; A = (un)substituted (CH2)m (wherein m = 1-3); B = (un)substituted (CH2)m (n = 0-3); R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted aryl, heteroaryl; R5, R6 = H, alkyl, cycloalkyl, etc.] that are selective modulators of NPYl receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were prepared E.g., a multi-step synthesis of the pyrazolo[1,5-alpyrimidine II was

described. The NPY1 receptor binding affinity for the compds. I, expressed as a Ki, ranges from 0.1 nM to 10  $\mu$ M. The compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Compds. I were also tested for CRF1 receptor binding affinity.

L5 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:247336 CAPLUS

DOCUMENT NUMBER: 134:280866

TITLE: Preparation of certain alkylene diamine-substituted

pyrazolo[1,5-a]-1,5-pyrimidines and

pyrazolo[1,5-a]-1,3,5-triazines as selective

modulators of NPY1 receptors

INVENTOR(S): Darrow, James W.; De Lombaert, Stephane; Blum,

Charles; Tran, Jennifer; Giangiordano, Mark; Griffith,

David Andrew; Carpino, Philip Albert PATENT ASSIGNEE(S): Neurogen Corporation, USA; Pfizer Inc.; De Lombaert,

Stephane PCT Int. Appl., 133 pp.

SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT  | TENT         | NO. |      |     | KIN | D   | DATE |      |     | APPI | LICAT | ION : | NO. |     | D   | ATE  |       |   |
|------|--------------|-----|------|-----|-----|-----|------|------|-----|------|-------|-------|-----|-----|-----|------|-------|---|
|      | 2001<br>2001 |     |      |     |     |     |      |      |     | wo : | 2000- | US26  | 887 |     | 2   | 0000 | 929   | < |
|      | W:           | ΑE, | AG,  | AL, | AM, | AT, | AU,  | AZ,  | BA, | BB,  | BG,   | BR,   | BY, | BZ, | CA, | CH,  | CN,   |   |
|      |              |     |      |     |     |     |      |      |     |      | FI,   |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     |      | KR,   |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     |      | MZ,   |       |     |     |     |      |       |   |
|      |              |     |      |     | SI, | SK, | SL,  | ТJ,  | TM, | TR,  | TT,   | TZ,   | UA, | UG, | US, | UΖ,  | VN,   |   |
|      |              |     | ZA,  |     |     |     |      |      |     |      |       |       |     |     |     |      |       |   |
|      | RW:          |     |      |     |     |     |      |      |     |      | TZ,   |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     |      | LU,   |       |     |     | SE, | BF,  | ВJ,   |   |
|      |              |     |      |     |     |     |      |      |     |      | NE,   |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     | CA 2 | 2000- | 2379  | 585 |     | 2   | 0000 | 929   | < |
| CA   | 2379         | 585 |      |     | С   |     | 2006 | 0620 |     |      |       |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     |      | 2000- |       |     |     |     |      |       |   |
| EP   |              |     |      |     |     |     |      |      |     |      | 2000- |       |     |     |     |      |       |   |
|      | R:           |     |      |     |     |     |      |      |     |      | IT,   | LI,   | LU, | NL, | SE, | MC,  | PT,   |   |
|      |              |     |      |     |     |     | RO,  |      |     |      |       |       |     |     |     |      |       |   |
|      | 2002         |     |      |     |     |     |      |      |     |      | 2002- |       |     |     |     | 0000 |       |   |
|      | 2003         |     |      |     |     |     |      |      |     |      | 2001- |       |     |     |     | 0000 |       |   |
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|      | 1065         |     |      |     |     |     |      |      |     |      | 2002- |       |     |     |     | 0020 |       |   |
|      | 2002         |     |      |     |     |     |      |      |     |      | 2002- |       |     |     |     | 0020 |       |   |
|      | 2002         |     |      |     | A   |     | 2003 | 1128 |     |      | 2002- |       |     |     |     | 0020 |       |   |
| RITI | APP          | LN. | TNFO | . : |     |     |      |      |     |      | 1999- |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     |      | 2000- |       |     |     |     |      |       |   |
|      |              |     |      |     |     |     |      |      |     | WO:  | 2000- | US26  | 887 |     | w 2 | 0000 | 9 2 9 | < |

MARPAT 134:280866 OTHER SOURCE(S):

тт 332178-43-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332178-43-5 CAPLUS

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxypheny1)-2,5-CN dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-(tetrahydro-2H-pyran-4-y1)-,

PR

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332178-32-2P 332178-33-3P 332178-34-4P
332178-35-5P 332178-37-7P 332178-38-8P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPY1 receptors)

RN 332178-32-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9C1) (CA INDEX NAME)

RN 332178-33-3 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

OMe

ONe

RN 332178-34-4 CAPLUS
CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5a]pyrimidin-7-y1]-N'-[2-(4-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332178-35-5 CAPLUS

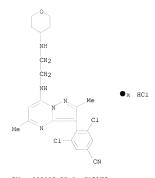
CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-ethoxy-3-methoxyphenyl)ethyl]- (9CI) (CA INDEX NAME)

RN 332178-37-7 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

332178-38-8 CAPLUS RN

Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrochloride (9CI) (CA INDEX NAME) CN



RN 332178-39-9 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethylphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-,
hydrochloride (9CI) (CA INDEX NAME)

RN 332178-40-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethynylphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)(9C1) (CA INDEX NAME)

RN 332178-41-3 CAPLUS

CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 332178-42-4 CAPLUS

CN Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5-

dimethylpyrazolo[1,5-a]pyrimidin-7-y1]amino]ethyl]amino]-, hydrochloride, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 332178-44-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9C1) (CA INDEX NAME)

RN 332178-45-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxypheny1)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-(tetrahydro-2H-pyran-4-y1)-, hydrochloride (9C1) (CA INDEX NAME)

RN 332178-46-8 CAPLUS CN 1,2-Ethanediamine, 1

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-propoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9C1) (CA INDEX NAME)

- RN 332178-47-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethyl-N-[(6-methyl-2-piperidiny1)methyl]- (9CI) (CA INDEX NAME)

- RN 332178-48-0 CAPLUS
- CN 1-Butanol, 2-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

HO-CH2

Et-CH-NH-CH2-CH2-NH

- RN 332178-49-1 CAPLUS
- CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-methyl- (9C1) (CA
  INDEX NAME)

PAGE 2-A OMe

RN 332178-50-4 CAPLUS

1,4-Cyclohexanedlamine, N-[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-N'-ethyl- (9CI) (CA INDEX NAME) CN

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RN 332178-51-5 CAPLUS

NN 3221037 CAFADA (Architecture) Annual (2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(4-morpholinyl)cyclohexyl]-(9CI) (CA INDEX NAME)

RN

332178-52-6 CAPLUS Cyclohexanol, 4-[[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino|ethyl]amino|- (9CI) (CA INDEX CN NAME)

OMe

RN 332178-53-7 CAPLUS

CN 1,2-Propanediol, 3-[[2-[[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

OH

HO-CH2-CH-CH2-NH-CH2-CH2-NH

RN 332178-54-8 CAPLUS

CN 1,4-Cyclohexanediamine, N-[2-[[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]amino]ethyl]-N'-(2-methylpropy1)-

NHBu-i

332178-55-9 CAPLUS RN

Cyclohexanol, 4-[[2-[3-(2,6-dichloro-4-ethoxypheny1)-2,5-dimethylpyracolo[1,5-a]pyrimidin-7-y1]amino]-1-methylethyl]amino]- (9CI) (CA INDEX NAME) CN

OMe

332178-56-0 CAPLUS

CN Cyclohexanol, 2-[[2-[[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]amino]- (9CI) (CA INDEX NAME)

OEt

RN

- RN 332178-57-1 CAPLUS
- CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(4,4,4-trifluorobutyl)- (9CI) (CA INDEX NAME)

- RN 332178-59-3 CAPLUS
- CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

F3C-CH2-NH-CH2-CH2-NH

RN 332178-60-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-[2-(trifluoromethy1)cyclohexy1]-(9CI) (CA INDEX NAME)

RN 332178-61-7 CAPLUS

CN 1,2-Ethanediamine, N=[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[4-(trifluoromethyl)cyclohexyl]-(9C1) (CA INDEX NAME)

OMe

RN 332178-62-8 CAPLUS

CN

1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2-difluoroethyl)- (9CI) (CA INDEX NAME)

F2CH-CH2-NH-CH2-CH2-NH

RN 332178-63-9 CAPLUS

N 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluoro-1-methylethyl)- (9CI) (CA INDEX NAME)

RN 332178-64-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2-fluorocyclohexyl)- (9CI) (CA INDEX NAME)

RN 332178-65-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-66-2 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)-(9CI) (CA INDEX NAME)

RN 332178-67-3 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-68-4 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2-methyl-3-furanyl)(9C1) (CA INDEX NAME)

RN 332178-70-8 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9C1) (CA INDEX NAME)

RN 332178-71-9 CAPLUS

CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- $\alpha$ ,  $\alpha$ -dimethyl- (9C1) (CA INDEX NAME)

RN 332178-72-0 CAPLUS

NN 3521/8-72-0 CAFBOS CON 1,2-Ethanediamie, N-[3-[2,6-dichloro-4-(1-cyclopenten-1-y1)phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-(tetrahydro-2H-pyran-4-y1)-(9C1) (CA INDEX NAME)

- RN 332178-74-2 CAPLUS
- CN Benzenemethanol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-76-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-(tetrahydro-2-methyl-3-furany1)-(SCI) (CA INDEX NAME)

RN 332178-77-5 CAPLUS

1,2-Ethanediamine,  $N-[3-(2,6-dichloro-4-methoxypheny1)-5-(1,1-dimethylethy1)-2-methylpyrazolo[1,5-a]pyrimidin-7-y1]-<math>N^*$ -(tetrahydro-2H-pyran-4-y1)-(9C1) (CA INDEX NAME)

CN

RN 332178-78-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(tetrahydro-2H-pyran-4-yl)- (9CI) (CA INDEX NAME)

RN 332178-79-7 CAPLUS

CN 1,2-Ethanediamine, N-3-cyclohexen-1-y1-N'-[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]- (9CI) (CA INDEX NAME)

RN 332178-82-2 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

RN 332178-83-3 CAPLUS
CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

RN 332178-84-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-2,5-dimethylpyrazolo[1,5a]pyrimidin-7-yl]-N'-2-pyrimidinyl- (9CI) (CA INDEX NAME)

RN 332178-85-5 CAPLUS CN

1,2-Ethanediamine, N-[3-(2,4-dichloro-6-methoxypheny1)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN 332178-86-6 CAPLUS

NN 3521/8-30-9 CAPLOS
(1,2-Ethanediamie, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl](9C1) (CA INDEX NAME)

RN 332178-87-7 CAPLUS

SN 3521/6-6/-/ CAPLUS
CO 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-methyl-4-piperidinyl)- (9CI)
(CA INDEX NAME)

RN

332178-88-8 CAPLUS
1,2=Sthanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-4-piperidinyl)- (9CI)
(CA INDEX NAME) CN

RN

332178-89-9 CAPLUS
1,2=Ethanediamine, N=[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(1-methylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME) CN

RN

332178-90-2 CAPLUS 1,2=Bthanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(2,2,6,6-tetramethyl-4-piperidinyl)- (9CI) (CA INDEX NAME) CN

RN 332178-91-3 CAPLUS

NN 32176-3 CAFBOO (2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-(1-ethyl-3-piperidinyl)- (9CI) (CA INDEX NAME)

OMe

RN

332178-92-4 CAPLUS 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-4-piperidinyl- (SCI) (CA INDEX CN NAME)

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OMe

RN 332178-93-5 CAPLUS

CN 1,2-Propanediamine, N1-[3-(2,6-dichloropheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N2-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332178-94-6 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(3-pyridinylmethyl)-4-piperidinyl]- (9C1) (CA INDEX NAME)

RN 332178-95-7 CAPLUS

NN 35216-97- CAFLUO 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(4-pyridinylmethyl)-4piperidinyl]- (SCH) (CA INDEX NAME)

RN 332178-96-8 CAPLUS

CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(1-phenyl-3-pyrrolid(inyl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

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RN 332178-97-9 CAPLUS

NN J22-T69-79 CRE LOS (1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyridinylmethyl)-4-piperidinyl]- (9CH) (CA INDEX NAME)

OH

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RN 332178-98-0 CAPLUS

CN Benzonitrile, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[[1-(2-pyrimidinyl)-4-piperidinyl]amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]- (9CI) (CA INDEX NAME)

RN 332178-99-1 CAPLUS

NN 352176-79-1 CAEDOS (1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl] (SCI) (CA INDEX NAME)

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RN 332179-00-7 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-01-8 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(phenylmethyl)-4-piperidinyl]-(9C1) (CA INDEX NAME)

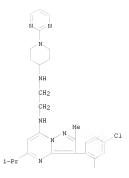
RN 332179-02-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichlorophenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN 332179-03-0 CAPLUS

NN 352179-30 CAPLOS (1,2-Ethanediamie, N-(3-(2,6-dichlorophenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl] (9G1) (CA INDEX NAME)

- RN 332179-04-1 CAPLUS
- NN 352179-11 CAFEDON
  1,2-Ethanediamine, N-(3-(2,4-dichlorophenyl)-2-methyl-5-(1methylethyl)pyrazolo[1,5-a]pyrimidin-7-y1]-N'-[1-(2-pyrimidinyl)-4piperidinyl]- (SCI) (CA INDEX NAME)



RN 332179-05-2 CAPLUS CN

132-17-032 (ArBos 1) (1,2-Fropanediamine, N1-[3-(2,6-dichloro-4-ethoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9C1) (CA INDEX NAME)

RN 332179-06-3 CAPLUS

NN 3521/9-03 CAE200 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxyphenyl)-2-methyl-5-(1-methylethyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperiddinyl]- (9CI) (CA INDEX NAME)

RN 332179-07-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN

332179-08-5 CAPLUS 1,2-Propanediamine, N1-[3-(2,6-dichloro-4-methoxypheny1)-2-methy1-5-propylpyrazolo[1,5-a]pyrimidin-7-y1]-N2-[1-(2-pyrimidiny1)-4-piperidiny1)-(9CI) (CA INDEX NAME) CN

- RN 332179-09-6 CAPLUS

332179-10-9 CAPLUS RN

1,2-Sthanediamine, N-[3-(2,6-dichlorophenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME) CN

- RN 332179-11-0 CAPLUS
- CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-2-methyl-5propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

- RN 332179-12-1 CAPLUS
- CN 1,2-Propanediamine, N1-[3-(2,6-dichlorophenyl)-5-ethyl-2methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

RN 332179-13-2 CAPLUS

332179-13-2 GAPBOS
1,2-Ethanediamine, N-[5-ethyl-2-methyl-3-(2,4,6trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4piperidinyl]- (9C1) (CA INDEX NAME)

RN 332179-14-3 CAPLUS

CN 1,2-Propagediamine, N1-[5-ethyl-2-methyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

RN

332179-15-4 CAPLUS 1,2=Ethanediamine, N=[3-(2,6-dichloro-4-ethynylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-<math>[1-(2-pyrimidinyl)-4-piperidinyl] (9C1) (CA INDEX NAME) CN

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RN

332179-16-5 CAPLUS
1,2-Ethanediamine, N-[2-methyl-5-propyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl)-(9CI) (CA INDEX NAME) CN

332179-17-6 CAPLUS RN

1,2=Sthanediamine, N=[2,5=dimethyl=3-(2,4,6=trimethylphenyl)pyrazolo[1,5=a]pyrimidin=7-yl]=N'=[1-(2-pyrimidinyl)=4-piperidinyl]- (9CI) (CA INDEX NAME) CN

RN

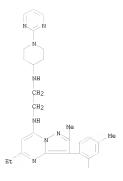
332179-18-7 CAPLUS 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME) CN

- RN 332179-19-8 CAPLUS
- CN 1,2-Ethanediamine, N-[3-(2,6-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

- RN 332179-20-1 CAPLUS
- CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2-methyl-5propylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]-(9CI) (CA INDEX NAME)

- RN 332179-21-2 CAPLUS
- CN 1,2-Propanediamine, N1-[3-(2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N2-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

- RN 332179-22-3 CAPLUS
- CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-5-ethyl-2-methylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 332179-23-4 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,4-dimethylphenyl)-2-methyl-5-propylpyrazolo[1,5a]pyrimidin-7-yl]-N'-[1-(2-pyrimidinyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

Me



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RN 332179-24-5 CAPLUS CN

4-Piperidinamine, 1-acety1-N-[[[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]amino]methyl]-N-propyl- (9CI) (CA INDEX NAME)

CN

332179-25-6 CAPLUS
1,2=Bthanediamine, N=[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-[2-(4-methoxypheny1)ethy1]-(9CI) (CA INDEX NAME)

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RN 332179-26-7 CAPLUS

NN 32179-7 GATRON

(N 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-[2-(3-ethoxy-4-methoxyphenyl)-thyl-[9C1] (CA INDEX NAME)

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RN 332179-27-8 CAPLUS

NN 32179-10 CATROO (1) (2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-[2-(4-ethoxy-3-methoxyphenyl)-lethyl-[9C1] (CA INDEX NAME)

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RN 332179-28-9 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxypheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-y1]-N'-(1,2,3,4-tetrahydro-2-naphthaleny1)- (9C1) (CA INDEX NAME)

RN 332179-29-0 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

RN

332179-30-3 CAPLUS
1,2=Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME) CN

PAGE 2-A

RN

332179-31-4 CAPLUS
1,2=Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]-N'-[2-(4-pyridinyl)ethyl]- (9CI) (CA INDEX NAME) CN

IT 332179-70-1 332179-74-5

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPTI receptors)

RN 332179-70-1 CAPLUS

CN 1,2-Ethanediamine, N-[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-74-5 CAPLUS

CN 1,2-Ethanediamine, N-[3-(2,6-dichloro-4-methoxyphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

IT 332179-38-1P 332179-42-7P 332179-43-8P 332179-55-2P 332179-56-3P 332179-57-4P

332179-58-5P 332179-59-6P 332179-60-9P

332179-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of certain alkylene diamine-substituted pyrazolo[1,5-a]-1,5-pyrimidines and pyrazolo[1,5-a]-1,3,5-triazines as selective modulators of NPYI receptors)

RN 332179-38-1 CAPLUS

CN 1,2-Ethanediamine, N-[3-(4-chloro-2,6-dimethylphenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

RN 332179-42-7 CAPLUS

CN Benzeneacetamide, N-[2-[[2,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-methoxy-(961) (CA INDEX NAMB)

PAGE 1-A

PAGE 2-A

RN 332179-43-8 CAPLUS

CN Benzeneacetamide, N-[2-[12,5-dimethyl-3-(2,4,6-trimethylphenyl)pyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl]-4-ethoxy-3-methoxy- (9C1) (CA INDEX NAME)

PAGE 2-A



RN 332179-55-2 CAPLUS

CN Benzoic acid, 3,5-dichloro-4-[7-[[2-[[(1,1-dimethylethoxy)carbonyl](tetrah ydro-2H-pyran-4-yl)amino]ethyl]amino]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-3-yl]-, methyl ester (9C1) (CA INDEX NAME)

PAGE 2-A

RN 332179-56-3 CAPLUS

CN Carbamic acid, [2-[[3-(2,6-dichloro-4-cyanophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 332179-59-6 CAPLUS

CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(methylsulfonyl)oxy]]phenyl]-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

- RN 332179-60-9 CAPLUS
- CN Carbamic acid, [2-[[3-[2,6-dichloro-4-[(trimethylsily1)ethyny1]pheny1]-2,5-dimethylpyrazolo[1,5-a]pyrimidiain-7-yl]amino]ethyl](tetrahydro-2H-pyran-4-yl)-,1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

- RN 332179-66-5 CAPLUS
- CN Phenol, 3,5-dichloro-4-[2,5-dimethyl-7-[[2-[(tetrahydro-2H-pyran-4-yl)amino]ethyl]amino]pyrazolo[1,5-a]pyrimidin-3-yl]-, hydrobromide (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; X = N, CR14; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl which optionally forms (un) substituted aminocarbocycle or aminoheterocycle with A and B, etc.; R2 and R6 with 2 N atoms to which they are bound, form (un) substituted aminocarbocycle, and be form (un) substituted aminocarbocycle, R2 and A form (un) substituted aminocarbocycle; R3 = (un) substituted alkyl; A and B form (un) substituted carbocycle; B and R6 form (un) substituted aminocarbocycle; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un) substituted aryl, heteroaryl; R5 = (un) substituted (cycloalkyl) alkyl, alkenyl, alkynyl, etc.; R6 = H, alkyl, cycloalkyl, etc.; R14 = H, alkyl, etc.] which are selective modulators of NPY1 receptors, and are useful in the treatment of a number of CNS disorders, metabolic disorders, and peripheral disorders, particularly eating disorders and hypertension, were

prepared E.g., a multi-step synthesis of the pyrazolo[1,5-a]pyrimidine II, was described. The NPYI binding affinity for the compds. I, expressed as a Ki value, ranges from 0.1 nM to 10  $\mu$ M. Compds. I are also useful as probes for the localization of NPY1 receptors and as stds. in assays for NPY1 receptor binding. Methods of using the compds. I in receptor localization studies are given.

L5 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:185043 CAPLUS

DOCUMENT NUMBER: 134:217215

TITLE: Use of CRF antagonists and related compositions for

modifying circadian rhythm and treatment of depression and other conditions
INVENTOR(5): Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 29 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PA      | PATENT NO. |      |          |     |      | KIND DATE |                       |      |               | APPLICATION NO. |       |       |            |     |            |       | DATE |   |  |  |  |
|---------|------------|------|----------|-----|------|-----------|-----------------------|------|---------------|-----------------|-------|-------|------------|-----|------------|-------|------|---|--|--|--|
|         | 10829      |      | A2<br>A3 |     | 2001 |           | EP 2000-307074        |      |               |                 |       |       | 20000818 < |     |            |       |      |   |  |  |  |
|         | R: 1       | ΑT,  | BE,      | CH, |      | DK,       | ES,                   |      | GB, G         | GR,             | IT,   | LI,   | LU,        | NL, | SE         | MC,   | PT,  |   |  |  |  |
| US      | 643291     | 89   |          |     | B1   |           | 2002                  | 0813 | US            | 3 20            | 000-5 | 8700  | )7         |     |            | 20000 | 605  | < |  |  |  |
| EP      | 1449532    |      |          |     | A1   |           | 2004                  | 0825 | EP 2004-12293 |                 |       |       |            |     | 20000818 < |       |      |   |  |  |  |
|         | R: 2       | ΑT,  | BE,      | CH, | DE,  | DK,       | ES,                   | FR,  | GB, G         | GR,             | IT,   | LI,   | LU,        | NL, | SE         | MC,   | PT,  |   |  |  |  |
|         |            | ΙE,  | FI,      | CY  |      |           |                       |      |               |                 |       |       |            |     |            |       |      |   |  |  |  |
| JP      | 200109     | 9788 | 39       |     | A    |           | 2001                  | 0410 | JI            | 2 (             | 000-2 | 25183 | 36         |     |            | 20000 | 823  | < |  |  |  |
| HU      | 200003     | 3386 | 5        |     | A2   |           | 20010730 HU 2000-3386 |      |               |                 |       |       |            |     |            |       |      |   |  |  |  |
| ZA      | 200000     | 0436 | 52       |     | A    |           | 2002                  | 0225 | Z             | A 20            | 000-4 | 1362  |            |     |            | 20000 | 824  | < |  |  |  |
| CA      | 231666     | 62   |          |     | A1   |           | 2001                  | 0227 | CZ            | A 20            | 000-2 | 23166 | 62         |     |            | 20000 | 825  | < |  |  |  |
| NZ      | 506562     | 2    |          |     | A    |           | 2002                  | 0927 | N             | 2 20            | 000-5 | 0656  | 52         |     |            | 20000 | 825  | < |  |  |  |
| AU      | 77607      | 7    |          |     | B2   |           | 2004                  | 0826 | Αl            | J 20            | 000-5 | 3644  | 1          |     |            | 20000 | 825  | < |  |  |  |
| US      | 20021      | 5608 | 39       |     | A1   |           | 2002                  | 1024 | U             | 3 20            | 002-1 | 16181 | 16         |     |            | 20020 | 604  | < |  |  |  |
| US      | 200401     | 8259 | 97       |     | A1   |           | 2004                  | 0429 | U             | 5 20            | 003-6 | 7620  | )1         |     |            | 20031 | 001  | < |  |  |  |
| PRIORIT | Y APPLI    | Ν. Ι | NFO      | . : |      |           |                       |      | U             | 3 19            | 999-1 | 15118 | 33P        |     | P :        | 19990 | 827  | < |  |  |  |
|         |            |      |          |     |      |           |                       |      | U             | 3 20            | 000-5 | 8700  | 7          |     | A3 :       | 20000 | 605  | < |  |  |  |
|         |            |      |          |     |      |           |                       |      | E             | 2 (             | 000-3 | 3070  | 74         |     | A3 :       | 20000 | 818  | < |  |  |  |
|         |            |      |          |     |      |           |                       |      | U             | 5 20            | 002-1 | 16181 | 16         |     | A3 :       | 20020 | 604  | < |  |  |  |

# IT 202579-62-2 202579-64-4 203924-40-7

203924-41-8 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(CRF antagonists and related compns. for modifying circadian rhythm and treatment of depression and other conditions, and use with other agents)

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-64-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 203924-40-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

- RN 203924-41-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

AB A corticotropin releasing factor (CRF) antagonist is administered to treat disorders that can be treated by altering circadian rhythm, as well as depression (in which a second compound for treating depression is administered, the second compound having an onset of action that is delayed with respect to that of the CRF antagonist). Methods for treating cardiovascular diseases, migraine, non-migraine headaches, and emesis are also disclosed.

L5 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2001:131201 CAPLUS

DOCUMENT NUMBER: 134:178572

TITLE: Preparation of azolo triazines and pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): He, Liqi; Gilligan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Dupont Pharmaceuticals Co., USA

SOURCE: U.S., 90 pp., Cont.-in-part of U. S. Ser. No. 899,242.

CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5 PATENT INFORMATION:

| PA'                                    | TENT NO.   |                   |                              | KINI                    |  | DATE   |   |                   | APPI   | ICAT   | ION  | NO.   |                   | D  | ATE  |  |                       |  |
|--|--|-------------------|------------------------------|-------------------------|--|--|---|-------------------|--|--|--|---|-------------------|--|--|--|-----------------------|--|
| US<br>CA<br>US<br>ZA<br>US<br>LT<br>CA | 6191131<br>2532925<br>6124289<br>9706603<br>6136809<br>4680<br>2314613   |                   | B1<br>A1<br>A<br>A<br>A<br>B |                         | 2001<br>1998<br>2000<br>1999<br>2000<br>2000<br>1999 | 0220<br>0129<br>0926<br>0125<br>1024<br>0725<br>0805                         | US 1998-15002<br>CA 1997-2532925<br>US 1997-899242<br>ZA 1997-6603<br>US 1998-14999<br>LT 1999-8<br>CA 1999-2314613 |                   |  |  |  |   |                   | 19980128 <<br>19970723 <<br>19970723 <<br>19970724 <<br>19980128 <<br>19990125 < |  |  |                       |  |
| WO                                     | W: AU,<br>RO,<br>RW: AI,   | BR,<br>SG,<br>BE, | CA,<br>SI,<br>CH,            | CN,<br>SK,<br>CY,       | CZ,<br>UA,<br>DE,                                    | EE,<br>VN,<br>DK,  | HU,<br>AM,<br>ES,   | IL,<br>AZ,<br>FI, | JP,<br>BY,<br>FR,  | KR,<br>KG,<br>GB,                                    | LT,<br>KZ,<br>GR,                                    | LV,<br>MD,<br>IE,                             | MX,<br>RU,<br>IT, | NO,<br>TJ,<br>LU,  | NZ,<br>TM<br>MC,                                     | PL,  |                       |  |
| AU<br>EP<br>EP                         | 9924787<br>748818<br>1049699<br>1049699  |                   |                              | B1                      |  | 2004   | 0421  |                   |  |  |  |   |                   |  |  |  |                       |  |
|  | R: AT,<br>SI,  | LT.               | LV.                          | FT.                     | RO   |  |   |                   |  |  |  |   |                   |  |  |  |                       |  |
| BR<br>JP<br>NZ<br>EP<br>EP             | 9908206<br>20025019<br>505079<br>1344779<br>1344779  | 22                |                              | A<br>T<br>A<br>A1<br>B1 |  | 2000<br>2002<br>2003<br>2003<br>2005   | 1205<br>0122<br>0829<br>0917<br>0810  |                   | BR 1<br>JP 2<br>NZ 1<br>EP 2                                 | 999-<br>000-<br>999-<br>003-                         | 8206<br>5293<br>5050<br>7588                         | 35<br>79<br>7                                 |                   | 1<br>1<br>1  | 9990<br>9990<br>9990<br>9990                         | 128<br>128<br>128<br>128                             | <<br><                |  |
|  | R: AT,   | BE,               | CH,                          | DE,<br>FI,<br>T         | DK,<br>RO  | ES,<br>2004  | FR,<br>0515   | GB,               | GR,<br>AT 1  | IT,<br>999-  | LI,<br>9043  | LU,   | NL,               | SE,  | PT,  | IE,  | <                     |  |
| PT<br>CN<br>ES<br>SG                   | 1049699<br>1542010<br>2218991<br>111076  |                   |                              | T<br>A<br>T3<br>A1      |  | 2004<br>2004<br>2004<br>2005   | 0831<br>1103<br>1116<br>0530  |                   | PT 1<br>CN 2<br>ES 1<br>SG 2                                 | 999-<br>003-<br>999-<br>002-                         | 9043<br>1012<br>9043<br>2002                         | 82<br>2546<br>82<br>0455                      | 6                 | 1<br>1<br>1  | 9990<br>9990<br>9990<br>9990                         | 128<br>128<br>128<br>128                             | <<br><                |  |
| AT<br>PT<br>ES<br>TW                   | 301657<br>1344779<br>2247478<br>520372   |                   |                              | T<br>T<br>T3<br>B       |  | 2005<br>2005<br>2006<br>2003   | 0815<br>1031<br>0301<br>0211  |                   | AT 2<br>PT 2<br>ES 2<br>TW 1                                 | 003-<br>003-<br>003-                                 | 7588<br>7588<br>7588<br>8810                         | 7<br>7<br>7<br>2636                           |                   | 1<br>1<br>1  | 9990<br>9990<br>9990<br>9990                         | 128<br>128<br>128<br>223                             | <<br><                |  |
| US<br>HK<br>JP<br>PRIORIT              | SI,<br>264860<br>1049699<br>1542010<br>2218991<br>111076<br>301657<br>1344779<br>2247478<br>520372<br>6358950<br>1060348<br>20050972<br>Y APPLN. | 57<br>INFO        | .:                           | B1<br>A1<br>A           |  | 2004<br>2004<br>2005<br>2005<br>2005<br>2006<br>2003<br>2002<br>2005<br>2005 | 0319<br>1021<br>0414  |                   | US 2<br>HK 2<br>JP 2<br>US 1<br>US 1<br>US 1<br>CA 1<br>JP 1 | 000-<br>004-<br>004-<br>996-<br>997-<br>996-<br>997- | 6967<br>1019<br>2164<br>2329<br>8992<br>6860<br>2259 | 59<br>85<br>83<br>0P<br>42<br>47<br>583<br>33 |                   | 2<br>2<br>2<br>P 1<br>A2 1<br>A 1<br>A3 1<br>A3 1                                | 0001<br>0040<br>0040<br>9960<br>9970<br>9960<br>9970 | 026<br>317<br>723<br>724<br>723<br>724<br>723<br>723 | <<br><<br><<br><<br>< |  |

US 1998-14734 A 19980128 <--US 1998-15001 A 19980128 <--US 1998-15002 A 19980128 <--EP 1999-904382 A3 19990128 <--WO 1999-US1824 W 19990128 <--

OTHER SOURCE(S): MARPAT 134:178572 IT 202579-57-5P 202579-60-0P 202579-62-2P 202579-63-3P 202579-64-4P 202579-65-5P

2025/9-63-3F 2025/9-64-4P 2025/9-65-5P 2025/9-69-9P 2025/9-73-5P 2025/9-74-6P 2025/9-75-7P 2025/9-77-9P 2025/9-78-0P 2025/9-80-4P 2025/9-81-5P 2025/9-82-6P 2025/9-80-4P 2025/9-81-5P 2025/9-82-6P 2025/9-80-4P 2025/9-81-5P 2025/9-81-5P 2025/9-82-6P 2025/9-81-5P 2025/

202579-84-8P 202579-87-1P 202579-91-7P 202579-92-8P 202579-94-0P 202579-95-1P 202580-25-4P 202580-26-5P 202580-28-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SFN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azolo-fused triazines and pyrimidines as CRF antagonists)

RN 202579-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-60-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-62-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylpheny1)-N-(1-ethylpropy1)2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9Cl) (CA INDEX NAME)

Me

- RN 202579-69-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-73-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

C1

# MeO-CH2

- RN 202579-74-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-75-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethy1)ethy1]-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 202579-77-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-"-amine, N-[(15)-3-methoxy-1-(methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(CA INDEX NAME)

### Absolute stereochemistry.

- RN 202579-78-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxyl-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9C1) (CA INDEX NAME)

- RN 202579-81-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-82-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-84-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME) MeO-CH2

Et-CH-NH

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-N-[2-methoxy-1-(methoxymethy1)ethy1]-2,5-dimethy1- (9CI) (CA INDEX NAME)

MeO-CH2

GI

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, alk(en/yn)yl, halo, etc.; R1, R2 = H, alk(en/yn)yl, halo, etc.; R3 = H, SH, aryl, etc.; R14 = (un)substituted

alk(en/yn)yl, cycloalkyl(alkyl)], useful in treating CRF-related disorders, particularly anxiety, depression, and other psychiatric, neurol. disorders as well as treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3-methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-2,5-dimethyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POC13 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound I [A = CH; R1 = Me; R3 = NHCHEt2; Z = CMe; Ar = 2-C1-4-MeC6H3]. The compds. I are effective at = NHCHEC2, 5 0.002-200 mg/kg/day. 93

REFERENCE COUNT:

THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT L5 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:307132 CAPLUS

DOCUMENT NUMBER: 132:321873

TITLE: Azolo triazines and pyrimidines useful as

corticotropin releasing factor (CRF) antagonists
INVENTOR(S): Gilligan, Paul; Chorvat, Robert; Arvanitis, Argyrios

Georgios

PATENT ASSIGNEE(S): DuPont Pharmaceuticals Co., USA

SOURCE: U.S., 86 pp., Cont.-in-part of U.S. Ser. No. 899,242.

CODEN: USXXAM DOCUMENT TYPE: Patent

LANGUAGE: English FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PA:    | PATENT NO.  |      |     |            |      | KIND DATE |   |       | APP:  | LICAT | ION        |                   | DATE       |            |       |      |   |  |
|--------|---|------|-----|------------|------|-----------|---|-------|-------|-------|------------|-------------------|------------|------------|-------|------|---|--|
| IIS    | 6060478   |      |     | A          |      | 2000      | 0509  |       | IIS : | 1998- | 1500       | 1                 |            |            | 1998  | 1128 | < |  |
| CA     | 2532925   |      |     | A1         | 1998 |           | CA  | 1997- | 253   |       | 19970723 < |                   |            |            |       |      |   |  |
| US     | 6124289   |      |     | A 20000926 |      |           |   |       | US    | 1997- | 8993       | 242               |            | 19970723 < |       |      |   |  |
| 7.A    | 9706603   |      |     | A          | 1999 | 0125      |   | 7.A   | 1997- | 660   | 3          |                   | 19970724 < |            |       |      |   |  |
| US     | 6136809   |      |     | A          | 2000 | 1024      | US 1998-15001<br>CA 1997-2532925<br>US 1997-899242<br>ZA 1997-6603<br>US 1998-14999<br>LT 1999-8<br>CA 1999-2314613 |       |       |       |            |                   |            | 19980128 < |       |      |   |  |
| LT     | 4680  |      |     | B 20000725 |      |           |   |       | LT :  | 1999- | 8          |                   | 19990125 < |            |       |      |   |  |
| CA     | 2314613   |      |     | A1         |      | 1999      | 0805  |       | CA :  | 1999- | 231        | 19990128 <        |            |            |       |      |   |  |
| WO     | 9938868   |      |     | A1         |      | 1999      | 0805  |       | WO:   | 1999- | US1        | 324               |            |            | 19990 | 128  | < |  |
|        | W: AU,  |      |     |            |      |           |   |       |       |       |            |                   |            |            |       |      |   |  |
|        |   |      |     |            |      |           |   |       |       |       |            | MD,               |            |            |       |      |   |  |
|        | RW: AT  |      |     |            |      |           |   |       |       |       |            |                   |            |            |       |      |   |  |
|        |   |      |     |            |      |           |   |       |       |       |            |                   |            |            |       |      |   |  |
| AU     | 9924787<br>748818<br>1049699<br>1049699   |      |     | A          |      | 1999      | 0816  |       | AU :  | 1999- | 2471       | 37                |            |            | 19990 | 128  | < |  |
| AU     | 748818  |      |     | B2         |      | 2002      | 0613  |       |       |       |            |                   |            |            |       |      |   |  |
| EP     | 1049699   |      |     | A1         |      | 2000      | 1108  |       | EP    | 1999- | 904        | 382               |            |            | 19990 | 128  | < |  |
| EP     | 1049699   |      |     | B1         |      | 2004      | 0421  |       |       |       |            |                   |            |            |       |      |   |  |
|        | R: AT,  | BE.  | CH. | DE.        | DK.  | ES.       | FR.   | GB.   | GR    | . IT. | LI.        | LU.               | NL.        | SE         | . PT  | IE   |   |  |
|        | ST.   | LT.  | LV. | FT.        | RO   |           |   |       |       |       |            |                   |            |            |       |      |   |  |
| BR     | 9908206   |      |     | A          |      | 2000      | 1205  |       | BR :  | 1999- | 820        | 5                 |            |            | 19990 | 128  | < |  |
| JP     | 20025019  | 22   |     | T          |      | 2002      | 0122  |       | JP :  | 2000- | 5293       | 335               |            |            | 19990 | 128  | < |  |
| NZ     | 505079  |      |     | A          |      | 2003      | 0829  |       | NZ :  | 1999- | 5050       | 079               |            |            | 19990 | 128  | < |  |
| EP     | 1344779   |      |     | A1         |      | 2003      | 0917  |       | EP :  | 2003- | 7588       | 37                |            |            | 19990 | 128  | < |  |
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|        | R: AT.  | BE,  | CH, | DE,        | DK.  | ES,       | FR.   | GB,   | GR    | IT.   | LI.        | LU.               | NL.        | SE         | PT.   | . IE | , |  |
|        | SI,   | LT,  | LV, | FI,        | RO   |           |   |       |       |       |            |                   |            |            |       |      |   |  |
| AT     | 264860  |      |     | T          |      | 2004      | 0515  |       | AT :  | 1999- | 9043       | 382               |            |            | 19990 | 128  | < |  |
| PT     | 1049699   |      |     | T          |      | 2004      | 0831  |       | PT :  | 1999- | 9043       | 382               |            |            | 19990 | 128  | < |  |
| CN     | 1542010   |      |     | A          |      | 2004      | 1103  |       | CN :  | 2003- | 1012       | 22546             |            |            | 19990 | 128  | < |  |
| ES     | 2218991   |      |     | Т3         |      | 2004      | 1116  |       | ES :  | 1999- | 9043       | 382               |            |            | 19990 | 128  | < |  |
| SG     | 111076  |      |     | A1         |      | 2005      | 0530  |       | SG :  | 2002- | 2002       | 20455             | 6          |            | 19990 | 128  | < |  |
| AT     | 301657  |      |     | T          |      | 2005      | 0815  |       | AT :  | 2003- | 7588       | 37                |            |            | 19990 | 128  | < |  |
| PT     | 1344779   |      |     | T          |      | 2005      | 1031  |       | PT :  | 2003- | 7588       | 37                |            |            | 19990 | 128  | < |  |
| ES     | 2247478   |      |     | Т3         |      | 2006      | 0301  |       | ES :  | 2003- | 7588       | 37                |            |            | 19990 | 128  | < |  |
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|        |   |      |     |            |      |           |   |       | JP :  | 1998- | 5072       | 9583<br>233<br>34 |            | A3         | 1997  | 723  | < |  |
|        |   |      |     |            |      |           |   |       | US :  | 1998- | 1473       | 34                |            | A          | 19980 | 128  | < |  |

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OTHER SOURCE(S):
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     267233-61-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
```

BIOL (Biological study); PREP (Preparation); USES (Uses) (target compound; preparation of azolo-fused triazines and pyrimidines as

#### antagonists)

- RN 202579-57-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-60-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

### MeO-CH2

- RN 202579-62-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-63-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-64-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-65-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9Cl) (CA INDEX NAME)

- RN 202579-69-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-73-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Cl

### MeO-CH2

- Me N Me
- RN 202579-74-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-75-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

RN 202579-78-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-81-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-82-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-84-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME) MeO-CH2

Et-CH-NH

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 202579-95-1 CAPLUS

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202580-53-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 202580-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202580-56-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202580-57-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202580-58-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202580-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234776-70-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

(n-Pr)<sub>2</sub>CH-NH

RN 234776-71-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-75-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-76-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-80-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-81-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Me

- RN 234776-82-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-83-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 234776-84-2 CAPLUS
- $\begin{array}{lll} \text{CN} & & \text{Pyrazolo}[1,5-a] \\ \text{pyrimidin-}7-\text{amine, 6-chloro-}3-(2,4-\text{dimethylphenyl})-\text{N-}[3-\text{methoxy-}1-(\text{methoxymethyl}) \\ \text{propyl}]-2,5-\text{dimethyl-} & \text{(9CI)} & \text{(CA INDEX NAME)} \\ \end{array}$

- RN 234776-86-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-87-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 234776-88-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

- RN 234776-89-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[(15)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

- RN 234776-90-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 234776-93-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N[(18)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 234776-94-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 234776-98-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-99-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

234777-00-5 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Et2CH-NH

RN 234777-01-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Et2CH-NH

RN 234777-03-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-6-chloro-N-[2-methoxy-1-(methoxymethy1)ethy1]-2,5-dimethy1- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-06-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4,5dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 234777-08-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-11-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-12-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-13-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-14-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-15-2 CAPLUS

- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9Cl) (CA INDEX NAME)
- (n-Pr) 2CH NH Me Me Me Me Me
- RN 234777-16-3 CAPLUS
  CN Pyrazolo(1,5-a)pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)
- MeO-CH2
  MeO-CH2-CH-NH
  Me
  Me
  Me
  Me
  Me
  Me
- RN 234777-20-9 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)
- MeO-CH<sub>2</sub>
  MeO-CH<sub>2</sub>-CH-NH
  Me
  Me
  N
  Me
  N
  Me
- RN 234777-21-0 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-26-5 CAPLUS

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RN 234777-27-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-29-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-31-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5,6trimethyl- (9CI) (CA INDEX NAME)

RN 234777-32-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5,6trimethyl-N-(1-propylbutyl)- (9C1) (CA INDEX NAME)

- RN 234777-33-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Me

- RN 234777-34-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 234777-35-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 234777-38-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 234777-39-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH
Me Me N

- RN 234777-44-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-45-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

234777-46-9 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-47-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-49-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-52-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 234777-54-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-57-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME) MeO-CH2

RN 234777-58-3 CAPLUS

CN Pyrazolo [1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylpheny1)-N-(1-ethylpropy1)2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-60-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-61-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-62-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH-NH
F N N Me
Me

- RN 234777-66-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH-NH

- RN 234777-67-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-71-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-72-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-73-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(CA INDEX NAME)

RN 234777-74-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-75-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-77-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-78-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-2,5dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 234777-79-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-80-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-81-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-84-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[(18)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-85-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-

## [3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH<sub>2</sub>-CH-NH Me

- RN 234777-89-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

n-Pr-CH-NH

- RN 234777-90-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-91-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et 2CH - NH

RN 234777-92-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 234777-94-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-97-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 234777-99-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 234778-02-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 234778-03-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-04-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-07-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-08-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-ethyl-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-09-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-10-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-buty1-6-chloro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-11-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-12-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobuty1-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-15-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-16-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-ethyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-17-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 234778-18-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

RN 234778-19-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-20-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-23-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-24-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-25-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-26-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-buty1-6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-27-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-28-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-6-fluoro-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-31-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-32-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-33-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-34-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-35-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-36-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-39-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-40-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-41-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-42-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-43-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5,6trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-44-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-47-3 CAPLUS
- $\begin{array}{lll} {\tt CN} & {\tt Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5,6-tetramethyl- (9CI)} & ({\tt CA INDEX NAME}) \end{array}$

- RN 234778-48-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-49-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6tetramethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-50-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-51-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-52-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 235083-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-2,5dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 267233-61-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

GI

AB Corticotropin releasing factor (CRF) antagonists (no data) of formulas I and II are disclosed [wherein A = N or CR; Z = N or CR2; Ar = (un) substituted Ph, naphthyl, pyridyl, pyrimidinyl, indanyl, tetralinyl, addnl. selected heterocycles; R = H, alk(en/yn)yl, cycloalkyl(alkyl), halo, cyano, haloalkyl; R1, R2 = H, groups listed for R, NH2 or derivs., OH or derivs., SH or derivs., addnl. substituted alkyls; R3 = H, OH or derivs., SH or derivs., acyl, CO2H or esters, NH2 or derivs., aryl, heteroaryl, alk(en/yn)yl, etc.; R4 = (un)substituted alk(en/yn)yl or cycloalkyl(alkyl)]. The compds. are of use in the treatment of CRF-related disorders, particularly anxiety and depression, as well as other psychiatric, neurol., immunol., cardiovascular, and psychopathol. disorders. For instance, 5-amino-4-(2-chloro-4-methylphenyl)-3methylpyrazole was cyclized with Et acetoacetate in AcOH to give 42% 7-hydroxy-5-methyl-3-(2-chloro-4-methylphenyl)pyrazolo[1,5-a]pyrimidine. The latter was treated with POC13 and PhNEt2 to give the 7-chloro analog (84%), which reacted with 3-pentylamine to give 60% title compound III. REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

III

L5 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2000:125866 CAPLUS

DOCUMENT NUMBER: 132:231516

TITLE: The discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine: a

corticotropin-releasing factor (hCRF1) antagonist
AUTHOR(S): Gilligan, Paul J.; Baldauf, Caryn; Cocuzza, Anthony;
Chidester, Dennis; Zaczek, Robert; Fitzgerald,
Lawrence W.; McElrov, John; Smith, Mark A.; Shen,

H.-S. L.; Saye, Jo Anne; Christ, David; Trainor, George; Robertson, David W.; Hartig, Paul

CORPORATE SOURCE: Chemical and Physical Sciences Department, Experimental Station, DuPont Pharmaceuticals Co.,

Wilmington, DE, 10880-0500, USA

SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(1), 181-189

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English IT 202579-74-6P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PRCC (Process); USES (Uses) (structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)

human CRF1 antagonists leading to discovery of anxiolytic DMP904 RN 202579-74-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-3-(4-methoxy-2methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

IT 202579-60-0 202579-62-2 202579-63-3 202579-75-7 202579-91-7 202579-94-0 202579-95-1 202580-25-4 202580-28-7 262298-00-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904) 202579-60-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN

MeO-CH2

RN 202579-62-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-75-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME) MeO-CH2

- RN 202579-91-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

Et-CH-NH Me OMe

Me

- RN 202579-94-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

- RN 202579-95-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 262298-00-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

IT 202579-57-5P 202579-64-4P 202579-65-5P 202579-69-9P 202579-73-5P 202579-80-4P 202579-81-5P 202579-82-6P 202579-92-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(structure-activity relationships of pyrazolo-[1,5-a]-pyrimidines as human CRF1 antagonists leading to discovery of anxiolytic DMP904)
RN 202579-57-5 CAPUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-73-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

# MeO-CH2

- RN 202579-80-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-81-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-82-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-92-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

AB Structure-activity relationship studies led to the discovery of 4-(3-pentylamino)-2,7-dimethyl-8-(2-methyl-4-methoxyphenyl)-pyrazolo-[1,5-a]-pyrimidine (compound 11-31, DMP904), whose pharmacol. profile strongly supports the hypothesis that hCRF1 antagonists may be potent anxiolytic drugs. Compound 11-31 (DKF1 Ki = 1.0 ± 0.2 nM (n = 8)) was a potent antagonist of hCRF1-coupled adenylate cyclase activity in HEK293 cells (IC50 = 10.0 ± 0.01 nM vs. 10 nM r/hCRF, n = 8); α-helical CRF(9-41) had weaker potency (IC50 = 286 ± 63 nM, n = 3). Analog 11-31 had good oral activity in the rat situational anxiety test; the min. ED for 11-31 was 0.3 mg/kg, orally. Maximal efficacy (approx. 57% reduction in latency time in the dark compartment) was observed at this dose. Chlordiazepoxide caused a 72% reduction in latency at 20 mg/kg, orally. CP154526-1 (30 mg/kg, orally) was inactive in this test. Compound 11-31 did not inhibit open-field locomotor activity at 10, 30, and 100 mg/kg, orally

in rats. In beagle dogs, this compound (5 mg/kg, i.v., orally) afforded good plasma levels. The key i.v. pharmacokinetic parameters were t1/2, CL and Vd.ss values equal to 46.4  $\pm$  7.6 h, 0.49  $\pm$  0.08 L/kg/h and 23.0  $\pm$  4.2 L/kg, resp. After oral dosing, the mean Cmax, Tmax, t1/2 and bioavailability values were equal to 1260  $\pm$  290 nM, 0.75  $\pm$  0.25 h, 45.1  $\pm$  10.2 h and 33.1%, resp. The overall rat behavioral profile of this compound suggests that it may be an anxiolytic drug with a low motor side effect liability.

REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN
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ACCESSION NUMBER: 1999:495296 CAPLUS

DOCUMENT NUMBER: 131:144616

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists
INVENTOR(S): He, Liqi; Gilliqan, Paul; Chorvat, Robert; Arvanitis,

Argyrios Georgios

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 245 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5 PATENT INFORMATION:

|                        | PATENT NO.     |       |      |     |     |             | KIND     |      |   | APPLICATION NO.                  |      |      |            |            | DATE       |      |                |     |   |
|------------------------|----------------|-------|------|-----|-----|-------------|----------|------|---|----------------------------------|------|------|------------|------------|------------|------|----------------|-----|---|
|                        | WO 9938868     |       |      |     | A1  |             | 19990805 |      |   | WO 1999-US1824                   |      |      |            | 19990128 < |            |      |                |     |   |
|                        |                | W:    | AU,  | BR, | CA, | CN,         | CZ,      | EE,  | HU,   | IL,                              | JP,  | KR,  | LT,        | LV,        | MX,        | NO   | NZ,            | PL, |   |
|                        |                |       |      |     |     |             |          | VN,  |   |                                  |      |      |            |            |            |      |                |     |   |
|                        |                | RW:   | AT,  | BE, | CH, | CY,         | DE,      | DK,  | ES,   | FΙ,                              | FR,  | GB,  | GR,        | IE,        | IT,        | LU   | MC,            | NL, |   |
|                        |                |       | PT,  | SE  |     |             |          |      |   |                                  |      |      |            |            |            |      |                |     |   |
|                        | US             | 6060  | 1478 |     |     | A           |          | 2000 | 0509  |                                  | US 1 | 998- | 1500       | 1          |            |      | 19980          | 128 | < |
|                        | US             | 6191  | .131 |     |     | B1 20010220 |          |      |   | US 1998-15001<br>US 1998-15002   |      |      |            | 19980128 < |            |      |                |     |   |
|                        | US             | 6313  | 3124 |     |     | B1 20011106 |          |      |   | US 1998-14734                    |      |      |            | 19980128 < |            |      |                |     |   |
|                        | CA             | 2314  | 613  |     |     | A1 19990805 |          |      |   | CA 1999-2314613<br>AU 1999-24787 |      |      |            | 19990128 < |            |      |                |     |   |
|                        | ΑU             | 9924  | 1787 |     |     | A           |          | 1999 | 0816  |                                  | AU 1 | 999- | 2478       | 7          |            |      | 19990          | 128 | < |
|                        | 74 1 1         | 7/199 | 110  |     |     | D2          |          | 2002 | 0613  |                                  |      |      |            |            |            |      |                |     |   |
|                        | EP             | 1049  | 699  |     |     | A1          |          | 2000 | 1108  |                                  | EP 1 | 999- | 9043       | 82         |            |      | 19990          | 128 | < |
|                        | ΕP             | 1049  | 699  |     |     | B1          |          | 2004 | 0421  |                                  |      |      |            |            |            |      |                |     |   |
|                        |                | R:    | AT,  | BE, | CH, | DE,         | DK,      | ES,  | FR,   | GB,                              | GR,  | IT,  | LI,        | LU,        | NL,        | SE   | PT,            | IE, |   |
|                        |                |       | SI,  | LT, | LV, | FI,         | RO       |      |   |                                  |      |      |            |            |            |      |                |     |   |
|                        | BR             | 9908  | 206  |     |     | A           |          | 2000 | 1205  |                                  | BR 1 | 999- | 8206       |            |            |      | 19990          | 128 | < |
|                        | JP 2002501922  |       |      |     |     | T 20020122  |          |      | JP 2000-529335  |                                  |      |      |            | 19990128 < |            |      |                |     |   |
|                        | NZ 505079      |       |      |     |     | A 20030829  |          |      | NZ 1999-505079  |                                  |      |      |            | 19990128 < |            |      |                |     |   |
|                        | AT 264860      |       |      |     |     | T 20040515  |          |      | AT 1999-904382  |                                  |      |      |            |            | 19990128 < |      |                |     |   |
|                        | ZA 9900843     |       |      |     |     | A 20000802  |          |      | BR 1999-8206<br>JP 2000-529335<br>NZ 1999-505079<br>AT 1999-904382<br>ZA 1999-843<br>MX 2000-PA6495 |                                  |      |      |            | 19990203 < |            |      |                |     |   |
|                        | MX 2000PA06495 |       |      |     |     | A 20011011  |          |      | MX 2000-PA6495  |                                  |      |      | 20000629 < |            |            |      |                |     |   |
|                        |                |       |      |     |     |             |          |      |   |                                  | AU 2 | 002- | 3009       | 83         |            |      | 20020<br>19980 | 911 | < |
| PRIORITY APPLN. INFO.: |                |       |      |     |     |             |          |      |   |                                  | US 1 | 998- | 1473       | 4          |            | Α :  | 19980          | 128 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | US 1 | 998- | 1500       | 1          |            | A :  | 19980          | 128 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | US 1 | 998- | 1500       | 2          |            | Α :  | 19980          | 128 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | US 1 | 996- | 2329       | 0P         |            | P :  | 19960          | 724 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | US 1 | 997- | 8992       | 42         |            | A2 : | 19970          | 723 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | AU 1 | 999- | 2478       | 7          |            | A3   | 19970<br>19990 | 128 | < |
|                        |                |       |      |     |     |             |          |      |   |                                  | WO 1 | 999- | US18       | 24         |            | W    | 19990          | 128 | < |

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IT 202579-57-5P 234776-70-6P 234776-71-79 234776-71-79 234776-80-8P 234776-80-8P 234776-80-8P 234776-80-8P 234776-80-8P 234776-81-9P 234776-81-87-5P 234776-81-87-5P 234776-81-87-5P 234776-81-87-5P 234776-81-87-5P 234776-99-9P 234776-90-8P 234777-09-81-8P 234777-01-6P 234777-01-8P 234777-10-8P 234777-11-8P 234777-15-2P 234777-13-0P 234777-12-9P 234777-15-2P 234777-15-3P 234777-12-9P 234777-20-9P 234777-27-6P 234777-28-7P 234777-29-8P 234777-28-8P 234777-38-38-8P 234777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 247777-38-8P 24777-38-8P 247777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P 24777-38-8P
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234777-72-1P 234777-73-2P 234777-74-3P
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234778-50-8P 234778-51-9P 234778-52-0P
235083-80-4P
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of azolotriazines and -pyrimidines as CRF antagonists for treatment of anxiety, depression, and other psychiatric, neurol. disorders)

RN 202579-57-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-70-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-2,5dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 234776-71-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-75-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-76-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N- (1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-80-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234776-81-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH Me

RN 234776-82-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234776-83-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

- RN 234776-84-2 CAPLUS
- $\begin{array}{lll} \text{CN} & & \text{Pyrazolo}[1,5-a] \\ \text{pyrimidin-}7-a \\ \text{methoxy-}1-(\text{methoxymethy1}) \\ \text{propy1}]-2,5-d \\ \text{imethy1-}(9 \\ \text{CI}) & \text{CA INDEX NAME}) \end{array}$

- RN 234776-86-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234776-87-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 234776-88-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl-(9CI) (CA INDEX NAME)

Me

- RN 234776-89-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[(18)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 234776-90-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 234776-93-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[(18)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 234776-94-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 234776-98-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-[1-(methoxymethyl)butyl]-3-(4methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234776-99-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

234777-00-5 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

### Et2CH-NH

RN 234777-01-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-6-chloro-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

# Et2CH-NH

RN 234777-03-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-6-chloro-N-[2-methoxy-1-(methoxymethy1)ethy1]-2,5-dimethy1- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH Me C1 N Me OMe

Br

RN 234777-06-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2-chloro-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 234777-08-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-11-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME) MeO-CH2

RN 234777-12-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-13-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-14-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-chloro-2-methylphenyl)-N- (1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-15-2 CAPLUS

- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5,6-trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)
- (n-Pr) 2CH NH Me Me Me Me
- RN 234777-16-3 CAPLUS
  CN Pyrazolo(1,5-a)pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)
- MeO-CH2
  MeO-CH2-CH-NH
  Me
  N
  Me
  Me
  Me
  Me
- RN 234777-20-9 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl-(9CI) (CA INDEX NAME)
- MeO-CH<sub>2</sub>
  MeO-CH<sub>2</sub>-CH-NH
  Me
  Me
  N
  Me
  N
  Me
- RN 234777-21-0 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234777-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-3-(4-methoxy-2-methylpheny1)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-27-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234777-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-29-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-31-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5,6trimethyl- (9CI) (CA INDEX NAME)

RN 234777-32-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5,6trimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 234777-33-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

- RN 234777-34-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

- RN 234777-35-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

RN 234777-38-9 CAPLUS

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Absolute stereochemistry.

RN 234777-39-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

RN 234777-44-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-45-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

234777-46-9 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-47-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-49-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxypheny1)-N-[2-methoxy-1-(methoxymethy1)ethy1]-2,5,6-trimethy1- (9CI) (CA INDEX NAME)

MeO-CH2

MeO CH2 CH NH Me N Me OMe

Br

- RN 234777-52-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

- RN 234777-54-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 234777-57-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 234777-58-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylpheny1)-N-(1-ethylpropy1)2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-60-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 234777-61-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-62-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Me

- RN 234777-66-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-67-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-71-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Me

- RN 234777-72-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-6-fluoro-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-73-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(CA INDEX NAME)

- RN 234777-74-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 234777-75-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234777-77-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Me

RN 234777-78-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-2,5dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

RN 234777-79-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH<sub>2</sub>-CH-NH Me

Me N Me

RN 234777-80-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-81-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-6-fluoro-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 234777-84-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-[(18)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 234777-85-6 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-6-fluoro-N-

## [3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH
F N N Me

- Me N

  RN 234777-89-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-[1-(methoxymethyl)butyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

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- RN 234777-90-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylpheny1)-6-fluoro-N-[1-(methoxymethyl)buty1]-2,5-dimethyl- (9CI) (CA INDEX NAME)

# MeO-CH2

Me

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- RN 234777-91-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et 2CH - NH

RN 234777-92-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH Me F N N N Me Br

RN 234777-94-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 234777-97-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 234777-99-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 234778-02-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-6-fluoro-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

- RN 234778-03-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-04-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1-ethylpropyl)-6-fluoro-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-07-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-08-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-ethyl-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-09-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-10-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-buty1-6-chloro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-11-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-12-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobuty1-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-15-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-16-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-ethyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-17-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

RN 234778-18-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

RN 234778-19-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 234778-20-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-chloro-N-cyclobutyl-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-23-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-24-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-25-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-26-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-buty1-6-fluoro-3-(4-methoxy-2-methylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-27-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-28-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-6-fluoro-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-31-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-32-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-33-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-34-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-35-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-36-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-6-fluoro-3-(4-methoxy-2,5-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 234778-39-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(2-methoxyethyl)-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-40-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-41-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-42-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(4-methoxy-2-methylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-43-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-2,5,6trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-44-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobutyl-3-(4-methoxy-2-methylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

- RN 234778-47-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-N-(2-methoxyethyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-48-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-ethyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-49-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6tetramethyl-N-propyl- (9CI) (CA INDEX NAME)

- RN 234778-50-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(4-methoxy-2,5-dimethylphenyl)-N,2,5,6-tetramethyl- (9CI) (CA INDEX NAME)

- RN 234778-51-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

- RN 234778-52-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-cyclobuty1-3-(4-methoxy-2,5-dimethylphenyl)-2,5,6-trimethyl- (9CI) (CA INDEX NAME)

RN 235083-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-6-fluoro-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkvl, C3-10 alkenvl, C3-10 alkvnvl, etc.], corticotropin releasing factor (CRF) antagonists (no data) which are useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5triazin-4-one with POC13 and N.N-dimethylaniline, followed by reaction of the resulting 4-chloro-2,7-dimethyl-8-(2,4-dichlorophenyl)[1,5-a]pyrazolo-1,3,5-triazine with 1,3-dimethoxy-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-C12C6H3]. REFERENCE COUNT: THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1999:467208 CAPLUS

DOCUMENT NUMBER: 131:237490

TITLE: Synthesis and structure-activity relationship of a new series of potent angiotensin II receptor antagonists:

pyrazolo[1,5-a]pyrimidine derivatives

Shiota, Takeshi; Yamamori, Teruo; Sakai, Katsunori; AUTHOR(S): Kiyokawa, Mitsugu; Honma, Tsunetoshi; Ogawa,

> Masavoshi; Havashi, Kunio; Ishizuka, Natsuki; Matsumura, Ken-Ichi; Hara, Mariko; Fujimoto, Masafumi;

Kawabata, Tomoji; Nakajima, Shiqevuki

Shionogi Research Laboratories, Shionogi and Co.,

CORPORATE SOURCE:

Ltd., Osaka, 553-0002, Japan SOURCE: Chemical & Pharmaceutical Bulletin (1999),

47(7), 928-938

CODEN: CPBTAL; ISSN: 0009-2363 PUBLISHER . Pharmaceutical Society of Japan

DOCUMENT TYPE: Journal English

LANGUAGE: 244127-04-6P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); PROC (Process); USES (Uses)

(synthesis and structure-activity relationship of pyrazolo[1,5a)pyrimidines as angiotensin II receptor antagonists)

244127-04-6 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-ethyl-3-phenyl-N-[[2'-(1H-tetrazol-5vl)[1,1'-biphenvl]-4-vl]methvl]- (9CI) (CA INDEX NAME)

244127-51-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and structure-activity relationship of pyrazolo[1,5a]pyrimidines as angiotensin II receptor antagonists)

RN 244127-51-3 CAPLUS

[1,1'-Bipheny1]-2-carbonitrile, 4'-[[(5-ethy1-3-phenylpyrazolo[1,5-CN a]pyrimidin-7-y1)amino]methy1]- (9CI) (CA INDEX NAMÉ)

- AB We have already reported 7-oxo-4,7-dihydropyrazolo[1,5-a]pyrimidine-3carboxylic acid derivs., which are potent in vitro angiotensin II (AII)
  antagonists, but have no oral antihypertensive activity. Removal of the
  carboxylic acid and replacement of the heteroarom. system afforded potent
  in vitro antagonists. Removal of the carbonyl oxygen and changing the
  position of the biphenyltetrazole substituent were critical to the display of
  oral activity. To improve the in vitro and oral activities, modifications
  were made of the substituents at the 3- and 5- positions of the
  pyrazolo[1,5-a]pyrimidine. Structure-activity studies showed the Me
  substituent at the 3-position to be essential for potent in vivo activity.
  We present the design, syntheses, and biol data of a series of
  pyrazolo[1,5-a]pyrimidine derivs., which are orally active AII receptor
  antagonists.
- REFERENCE COUNT:
- 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:606868 CAPLUS

DOCUMENT NUMBER: 129:302612

TITLE: Pyrazolo[1,5-a]pyrimidine CRF-1 receptor antagonists AUTHOR(S): Wustrow, David J.; Capiris, Thomas; Rubin, Ronald;

Knobelsdorf, A.; Akunne, Hyacinth; Davis, M. Duff; MacKenzie, Robert; Pugsley, Thomas A.; Zoski, Kim T.;

Heffner, Thomas G.; Wise, Lawrence D.
CORPORATE SOURCE: Parke-Davis Pharmaceutical Research, Division of

Warner-Lambert Company, Ann Arbor, MI, 48105, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998

SOURCE: Bloorganic & Medicinal Chemistry Letters (1998 ), 8(16), 2067-2070

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal
LANGUAGE: English

TT 214416-28-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of pyrazolo[1,5-a]pyrimidines and their affinity for the human CRF-1 receptor)

RN 214416-28-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-(2,4-dichlorophenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

NHBu-n Me

AB A series of pyrazolo[1,5-a]pyrimidines was prepared and found to have affinity for the human CRF-1 receptor. The 3-dimensional structure of one of the most potent analogs in this series was determined by X-ray crystallog, and suggests the spatial requirements for potent CRF-1 receptor binding affinity in this series.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:246630 CAPLUS

DOCUMENT NUMBER: 128:248613

TITLE: Adenosine reinforcement agents

INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo

PATENT ASSIGNEE(S): Ootsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Patent
Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. |                        | KIND   | DATE       | APPLICATION NO. |   | DATE       |  |  |  |
|------------|------------------------|--------|------------|-----------------|---|------------|--|--|--|
|            |                        |        |            |                 |   |            |  |  |  |
|            | JP 10101672            | A      | 19980421   | JP 1997-208772  |   | 19970804 < |  |  |  |
|            | PRIORITY APPLN. INFO.: |        |            | JP 1996-207171  | A | 19960806 < |  |  |  |
|            | OTUED COMPORT(C).      | MADDAT | 120.2/0613 |                 |   |            |  |  |  |

OTHER SOURCE(S):

IT 174859-38-2 174859-40-6

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(adenosine reinforcement agents)

RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS

CN Benzamide, N-(5-butyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- (9CI) (CA INDEX NAME)

G:

AB The title compds. [I; Rl = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl; R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl; R6 = H, lower alkyl; R0 = bond, lower alkyl, etc.; R5 = H, lower alkyl; R6 = bond, lower alkylene or alkenylene; n = 0, 1] are presented as adenosine reinforcement agents. I, possessing adenosine reinforcement activity, are useful for prevention and treatment of heart attack, myocardial and brain infarction. Ten compds. of I were tested and showed excellent adenosine reinforcement activity. Formulation containing I were also prepared

L5 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:246629 CAPLUS

DOCUMENT NUMBER: 128:248612

TITLE: Nitrogen monooxide synthase inhibitors

INVENTOR(S): Moritoki, Hideki; Iwamoto, Takeshi; Yasuda, Tsuneo

PATENT ASSIGNEE (S): Ootsuka Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 25 pp. CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT NO. |                        | KIND   | DATE       | APPLICATION NO. DATE      | DATE |  |  |  |
|------------|------------------------|--------|------------|---------------------------|------|--|--|--|
|            |                        |        |            |                           |      |  |  |  |
|            | JP 10101671            | A      | 19980421   | JP 1997-207867 19970801   | <    |  |  |  |
| 1          | PRIORITY APPLN. INFO.: |        |            | JP 1996-209465 A 19960808 | <    |  |  |  |
| - 6        | OTHER SOURCE(S).       | MARPAT | 128.248612 |                           |      |  |  |  |

IT 174859-38-2 174859-40-6

OTH

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pyrozolopyrimidine derivs, as nitrogen monooxide synthase inhibitors) RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5a]pyrimidin-7-v1]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS

CN Benzamide, N-(5-butv1-3-phenylpyrazolo[1,5-a]pyrimidin-7-v1)-3,4,5trimethoxy- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I; R1 = H, lower alkoxy or alkylthio, oxo, etc.; R2 = naphthyl, cycloalkyl, (un)substituted phenoxy, etc.; R3 = H, Ph, lower alkyl, R4 = H, lower alkyl, halo, aralkyl, etc.; R5 = H, lower alkyl, R6 = H, lower alkyl, (un)substituted benzoyl, etc.; Q = CO, SO2; A = single bond, lower alkylene or alkenylene; n = 0, 1] are presented as NO synthase inhibitors. I are useful for prevention and treatment of septicemia. 14 Compds. of I were tested and showed excellent NO synthase inhibitory activity. Formulation containing I were also prepared

L5 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:163594 CAPLUS

DOCUMENT NUMBER: 128:204902

TITLE: Preparation of heterobicyclic derivatives as CRF antagonists

INVENTOR(S):

Chen, Yuhpyng Liang

PATENT ASSIGNEE(S): Pfizer Inc., USA; Chen, Yuhpyng Liang

PCT Int. Appl., 62 pp. SOURCE:

CODEN: PIXXD2 DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO.                                  |  | APPLICATION NO.   | DATE  |  |  |  |
|---|--|---|---|--|--|--|
| WO 9808847 W: AL, AM, AT,                   | A1 19980305<br>AU, AZ, BA, BB,<br>FI, GB, GE, HU,<br>LT, LU, LV, MD,<br>SE, SG, SI, SK,<br>MW, SD, SZ, UG, |   | 19970725 < I, CU, CZ, DE, P, KR, KZ, LC, NZ, PL, PT, G, US, UZ, VN, YU K, ES, FI, FR, |  |  |  |
|   | A1 19980305  | CA 1997-2263566<br>AU 1997-34561                                    |   |  |  |  |
| AU 735401<br>EP 923582<br>EP 923582         | B2 20010705<br>A1 19990623<br>B1 20060920  | EP 1997-930697  |   |  |  |  |
| R: AT, BE, CH,<br>SI, LT, LV,<br>BR 9711970 | DE, DK, ES, FR,<br>FI, RO<br>A 19990824  | GB, GR, IT, LI, LU, NL  |   |  |  |  |
| CN 1227554<br>JP 2000502723<br>JP 3621706   | A 19990901<br>T 20000307<br>B2 20050216  | CN 1997-197027<br>JP 1998-511429                                    | 19970725 <<br>19970725 <  |  |  |  |
| NZ 333302<br>AT 340176                      | A 20000825<br>T 20061015   | AT 1997-930697  | 19970725 <  |  |  |  |
|   | B1 20021031<br>B 20040211  | TW 1997-86112194  | 19970822 <<br>19970825 <  |  |  |  |
| IN 1997DE02410<br>ZA 9707687<br>NO 9900927  | A 19990301<br>A 19990226   |   |   |  |  |  |
| KR 2000035934                               | A1 20010712  | KR 1999-701674<br>US 1999-242682<br>US 2002-160206                  | 19990227 <<br>19991213 <  |  |  |  |
| US 6900217<br>US 2005009823                 | B2 20050531  | US 2004-762742  |   |  |  |  |
| PRIORITY APPLN. INFO.:                      | A 20050224   | US 1996-25039P<br>JP 1998-511429<br>WO 1997-IB922<br>US 1999-242682 | P 19960828 <<br>A3 19970725 <<br>W 19970725 <<br>A1 19991213 <                        |  |  |  |
|   |  | US 2002-160206  | A3 20020530 <   |  |  |  |

OTHER SOURCE(S): MARPAT 128:204902

IT 203924-40-7P 203924-41-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterobicyclic derivs. as CRF antagonists)

RN 203924-40-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropy1)-2,5-dimethyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 203924-41-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-5-methyl-3-(2,4,6-trimethylphenyl)- (9CI) (CA INDEX NAME)

Et 2CH - NH

G:

AB Title compde. [I; A = N or CR?] DcE = O, S, NR8, CO, CS, CR4R6; G = N or C; 1 of J,K = N and the other = C; J = K = C; R = NRIR2, COR2, CR12R10, etc.; R1 = (un)substituted alkyl, -alkenyl, -alkynyl, etc.; R2 = alk(en)yl, (hetero)aryl(alkyl), etc.; R3 = H, halo, alkyl, alkoxy, etc.; R4 = H, halo, alkyl, alkoxy, etc.; R5 = substituted (hetero)aryl; R6 = H, Me, Et; R7 = H, halo, alkyl, alkoxy, etc.; R8 = H or alkyl; R10 = H, OH, OMe, F] were prepared as CRF antagonists (no data). Thus, OHCCHR5CN (R5 = 2,4,6-trimethylphenyl throughout) was cyclocondensed with H2NNH2 and the pyrazole product cyclocondensed with MeCCH2COZME to give

pyrazolopyrimidine II (R = OH) which was treated with POC13 and the product etherified by Et2CHOH to give II (R = OCHEt2).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1998:87733 CAPLUS

DOCUMENT NUMBER: 128:154103

TITLE: Preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists

INVENTOR(S): Arvanitis, Argyrios Georgious; Chorvat, Robert John

PATENT ASSIGNEE(S): Du Pont Merck Pharmaceutical Co., USA

SOURCE: PCT Int. Appl., 225 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. |                          |                  | KIND DATE            |  | APPLICATION NO.  | DATE  |
|------------|--------------------------|------------------|----------------------|--|--|---|
| WO         | 9803510<br>W: AM,<br>LV, | AU, AZ<br>MD, MX | A1<br>, BR,<br>, NO, | 19980129<br>BY, CA, CN,<br>NZ, PL, RO, | WO 1997-US13072<br>CZ, EE, HU, IL, JP,<br>RU, SG, SI, SK, TJ,  | 19970723 <<br>KG, KR, KZ, LT,<br>TM, UA, VN     |
|            | RW: AT,                  | BE, CH           | , DE,                | DK, ES, FI,                            | FR, GB, GR, IE, IT,  | LU, MC, NL, PT, SE                              |
| CA         | 2259583                  |                  | A1                   | 19980129                               | CA 1997-2259583<br>CA 1997-2532925<br>AU 1997-38942  | 19970723 <                                      |
| CA         | 2532925                  |                  | A1                   | 19980129                               | CA 1997-2532925  | 19970723 <                                      |
| AU         | 9738942                  |                  | A                    | 19980210                               | AU 1997-38942  | 19970723 <                                      |
| AU         | 747708                   |                  | B2                   | 20020523                               |  |   |
| EP         | 915880                   |                  | Δ1                   | 19990519                               | EP 1997-936222   | 19970723 <                                      |
|            | R: AT,                   | BE, CH           | , DE,                | DK, ES, FR,                            | GB, GR, IT, LI, LU,  | NL, SE, PT, IE, FI                              |
| CN         | 1225637                  |                  | A                    | 19990811                               | CN 1997-196525   | 19970723 <                                      |
| CN         | 1104432                  |                  | В                    | 20030402                               |  |   |
| BR         | 9710544                  |                  | A                    | 19990817                               | BR 1997-10544  | 19970723 <                                      |
| US         | 6124289                  |                  | A                    | 20000926                               | US 1997-899242   | 19970723 <                                      |
| HU         | 200102187                |                  | A2                   | 20011128                               | HU 2001-2187   | 19970723 <                                      |
| HU         | 200102187                |                  | A3                   | 20021228                               |  |   |
| JP         | 200251338                | 2                | T                    | 20020508                               | JP 1998-507233   | 19970723 <                                      |
| EE         | 4316                     |                  | B1                   | 20040615                               | EE 1999-19   | 19970723 <                                      |
| RO         | 121272                   |                  | B1                   | 20070228                               | RO 1999-78   | 19970723 <                                      |
| ZA         | 9706603                  |                  | A                    | 19990125                               | ZA 1997-6603   | 19970724 <                                      |
| TW         | 542827                   |                  | В                    | 20030721                               | TW 1997-86110640   | 19970725 <                                      |
| TW         | 238164                   |                  | В                    | 20050821                               | TW 2003-92105694   | 19970725 <                                      |
| MX         | 9900633                  |                  | A                    | 20020131                               | MX 1999-633  | 19990115 <                                      |
| LV         | 12292                    |                  | В                    | 19991120                               | LV 1999-13   | 19990120 <                                      |
| NO         | 9900264                  |                  | A                    | 19990310                               | NO 1999-264  | 19990121 <                                      |
| NO         | 315610                   |                  | B1                   | 20030929                               |  |   |
| LT         | 4680                     |                  | В                    | 20000725                               | LT 1999-8  | 19990125 <                                      |
| CN         | 1327793                  |                  | A                    | 20011226                               | CN 2001-120849   | 20010530 <                                      |
| AU         | 773039                   |                  | B2                   | 20040513                               | AU 2002-23236  | 20020312 <                                      |
| CN         | 1388126                  |                  | A                    | 20030101                               | CN 2002-118589   | 20020425 <                                      |
| JP         | 200509725                | 7                | A                    | 20050414                               | JP 2004-216483   | 20040723 <                                      |
| PRIORIT:   | Y APPLN. I               | NFO.:            |                      |  | GB, GR, IT, LI, LU, CN 1997-19525  BR 1997-19525  BR 1997-899242  HU 2001-2187  JP 1998-507223  EE 1999-19  RO 1999-78  ZA 1997-6603  TW 1997-66110640  TW 2003-92105694  MX 1999-13  LV 1999-13  LV 1999-13  LV 1999-14  LT 1999-8  CN 2001-120849  AU 2002-23236  CN 2002-118589  JF 2004-216483  US 1996-23230P | P 19960724 <                                    |
|            |                          |                  |                      |  | US 1996-686047   | A 19960724 <                                    |
|            |                          |                  |                      |  | US 1997-899242   | A 19970723 <                                    |
|            |                          |                  |                      |  | AU 1997-38942  | A3 19970723 <                                   |
|            |                          |                  |                      |  | CA 1997-2259583  | A3 19970723 <                                   |
|            |                          |                  |                      |  | JP 1998-507233   | A3 19970723 <<br>A3 19970723 <<br>A3 19970723 < |
|            |                          |                  |                      |  | WO 1997-US13072  | W 19970723 <                                    |
|            |                          |                  |                      |  |  |   |

OTHER SOURCE(S): MARPAT 128:154103 IT 202579-57-5P 202579-60-0P 202579-62-2P

202579-63-3P 202579-64-4P 202579-65-5P 202579-69-9P 202579-73-5P 202579-74-6P

202579-75-7P 202579-77-9P 202579-78-0P

202579-80-4P 202579-81-5P 202579-82-6P 202579-84-8P 202579-87-1P 202579-91-7P 202579-92-8P 202579-94-0P 202579-95-1P 202580-25-4P 202580-26-5P 202580-28-7P 202580-48-1P 202580-52-7P 202580-53-8P 202580-54-9P 202580-55-0P 202580-56-1P 202580-57-2P 202580-58-3P 202580-59-4P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of azolotriazines and -pyrimidines as corticotropin releasing factor (CRF) antagonists) 202579-57-5 CAPLUS Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-(1-

RN CN

ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

202579-60-0 CAPLUS RN

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-62-2 CAPLUS CN

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-63-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202579-64-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 202579-65-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202579-69-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-73-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

## MeO-CH2

- RN 202579-74-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-75-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[2-methoxy-1-(methoxymethyl)ethyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl-(9CI) (CA INDEX NAME)

MeO-CH2

RN 202579-77-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-3-(4-methoxy-2-methylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

- RN 202579-78-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 202579-80-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-ethyl-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-81-5 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-(1ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-82-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202579-84-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-87-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[(1S)-3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 202579-91-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-methoxy-2-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202579-92-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME) MeO-CH2

Et-CH-NH

RN 202579-94-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4,5-dimethoxyphenyl)-N-(1ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

RN 202579-95-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

MeO-CH2-CH-NH

RN 202580-25-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4,5-dimethoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et<sub>2</sub>CH-NH

RN 202580-26-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

RN 202580-28-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-bromo-4-methoxyphenyl)-N-[2-methoxy-1-(methoxymethyl)ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202580-48-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(1-ethylpropyl)-3-(4-methoxy-2,3-dimethylphenyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Et2CH-NH

- RN 202580-52-7 CAPLUS
- CN 1,3-Propanediol, 2-[[3-(2,4-dichlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

HO-CH2-CH-NH

- RN 202580-53-8 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 202580-54-9 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2-CH2-CH-NH

- RN 202580-55-0 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-2,5-dimethyl-N-(1-propylbutyl)- (9CI) (CA INDEX NAME)

- RN 202580-56-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chloro-2-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202580-57-2 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[3-methoxy-1-(methoxymethyl)propyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

- RN 202580-58-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-[1-(methoxymethy1)buty1]-3-(4-methoxy-2-methylpheny1)-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

RN 202580-59-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2-chloro-4-methylphenyl)-N-[1-(methoxymethyl)butyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)

MeO-CH2

GΙ

AB The title compds. [I or II; A = N, CR; Z = N, CR2; Ar = (un)substituted Ph, naphthyl, pyridyl, etc.; R = H, C1-4 alkyl, C2-4 alkenyl, etc.; R1 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R2 = H, C1-4 alkyl, C2-4 alkenyl, etc.; R3 = H, SH, OH, etc.; R14 = C1-10 alkyl, C3-10 alkenyl, C3-10 alkynyl, etc.], corticotropin releasing factor (CRF) antagonists useful in treating anxiety, depression, and other psychiatric, neurol. disorders as well as in treatment of immunol., cardiovascular or heart-related diseases and colonic hypersensitivity associated with psychopathol. disturbance and stress, were prepared and formulated. Thus, treatment of 2,7-dimethyl-8-(2,4-dimethylphenyl)[1,5-a]pyrazolo-1,3,5-triazin-4-one with POC13 and N,N-dimethylaniline followed by reaction of the resulting 4-chloro-2, 7-dimethyl-8-(2, 4-dimethylphenyl)[1, 5-a]pyrazolo-1, 3, 5-triazine with 1,3-dimethoxypropyl-2-aminopropane in EtOH afforded I [A = N; Z = C(Me); R1 = Me; R3 = NHCH(CH2OMe)2; Ar = 2,4-C12C6H3]. REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:542450 CAPLUS

DOCUMENT NUMBER: 127:220669

TITLE: Pyrazolopyrimidines as CRF receptor antagonists INVENTOR(S): Chen, Chen; Webb, Thomas R.; McCarthy, James R.;

Moran, Terence J.; Wilcoxen, Keith M.

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.; Neurocrine

Biosciences, Inc.
SOURCE: PCT Int. Appl., 43 pp.

GOURCE: PCT Int. Appl., 43 pp CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. |   |                          |                                 | KIND DATE                             |                                 |   | APPLICATION NO.                  |  |                                  |                                   |                          | DATE                     |                          |                          |                                 |                                |   |
|------------|---|--------------------------|---------------------------------|---------------------------------------|---------------------------------|---|----------------------------------|--|----------------------------------|-----------------------------------|--------------------------|--------------------------|--------------------------|--------------------------|---------------------------------|--------------------------------|---|
|            | 9729109<br>W: AL,<br>JP,<br>RO,<br>RW: KE,<br>IE,   | AM,<br>KG,<br>SG,<br>LS, | AU,<br>KR,<br>SI,<br>MW,<br>LU, | A1<br>BA,<br>LC,<br>SK,<br>SD,<br>MC, | BB,<br>LK,<br>TR,<br>SZ,<br>NL, | 1997<br>BG,<br>LR,<br>TT,<br>UG,<br>PT, | DR14<br>BR,<br>LT,<br>UA,<br>AT, | CA,<br>LV,<br>US,<br>BE,                       | WO 1<br>CN,<br>MD,<br>UZ,<br>CH, | 1997-1<br>, CU,<br>, MG,<br>, VN, | CZ,<br>MK,<br>AZ,<br>DK, | EE,<br>MN,<br>BY,<br>ES, | GE,<br>MX,<br>KZ,<br>FI, | HU,<br>NO,<br>RU,<br>FR, | 11,<br>IL,<br>NZ,<br>TJ,<br>GB, | 130<br>IS,<br>PL,<br>TM<br>GR, |   |
| CA         | 2233285   | NE,                      | SN,                             | A1                                    | 16                              | 1997                                    | 0814                             |  | CA 1                             | 1997-:                            | 2233:                    | 285                      |                          | 1                        | 19970                           | 130                            | < |
| CA         | 2233285   |                          |                                 | C                                     |                                 | 2006                                    | 0704                             |  | 2.11 2                           | 1007                              | 1500                     |                          |                          |                          | 0070                            | 120                            |   |
| AU         | 713673  |                          |                                 | R2                                    |                                 | 1997                                    | 1209                             |  | AU .                             | 1997-                             | 1399                     | 1                        |                          | -                        | 19970                           | 130                            | < |
| EP         | 880523  |                          |                                 | A1                                    | 19981202                        |   |                                  | CA 1997-2233285  AU 1997-15991  EP 1997-902295 |                                  |                                   |                          |                          |                          | 19970130 <               |                                 |                                |   |
|            | 880523  |                          |                                 | B1                                    |                                 | 2006                                    | 0816                             |  |                                  |                                   |                          |                          |                          |                          |                                 |                                |   |
|            | R: AT,  |                          |                                 |                                       |                                 | ES,                                     | FR,                              | GB,  | GR,                              | IT,                               | LI,                      | LU,                      | NL,                      | SE,                      | PT,                             | ΙE,                            |   |
| ON         | SI,   | LT,                      | LV,                             | FI                                    |                                 | 1000                                    | 0112                             |  | ON 3                             | 1997-                             | 1012                     | 0.0                      |                          |                          | 0070                            | 120                            | , |
| CN         | 1000109   |                          |                                 | D.                                    |                                 | 1999<br>2002                            | 0001                             |  | CIN .                            | 1997-                             | 1913                     | 02                       |                          | -                        | 19970                           | 130                            | < |
| HII        | 9900575   |                          |                                 | A2                                    |                                 | 1999                                    |                                  |  | HII 1                            | 1999-                             | 575                      |                          |                          | 1                        | 19970                           | 130                            | < |
| BR         | 9707391   |                          |                                 | A                                     |                                 | 1999                                    | 0720                             |  | BR 1                             | 1999-<br>1997-<br>1997-           | 7391                     |                          |                          | -                        | 19970                           | 130                            | < |
| NZ         | 330119  |                          |                                 | A                                     |                                 | 2000                                    | 0228                             |  | NZ 1                             | 1997-                             | 3301                     | 19                       |                          | 1                        | 19970                           | 130                            | < |
| JP         | 20005036  | 61                       |                                 | T                                     |                                 | 2000                                    | 0328                             |  | JP 1                             | 1997-                             | 5281                     | 23                       |                          | 1                        | 19970                           | 130                            | < |
| JP         | 3356291   |                          |                                 | B2                                    |                                 | 2002                                    | 1216                             |  |                                  |                                   |                          |                          |                          |                          |                                 |                                |   |
| JP         | 20021211  | 94                       |                                 | A                                     |                                 | 2002                                    | 0423                             |  | JP 2                             | 2001-                             | 2656                     | 11                       |                          | 1                        | 19970                           | 130                            | < |
| EE         | 4282  |                          |                                 | B1                                    |                                 | 2004                                    | 0415                             |  | EE 1                             | 1998-                             | 124                      |                          |                          | 1                        | 19970                           | 130                            | < |
| PL         | SI, LT, LV, CN 120509 CN 120509 CN 1090189 HU 9900575 BR 9707391 NZ 330119 JP 2000503661 JP 200503661 JP 2002121194 EE 4282 PL 191271 AT 336495 ES 2168237 IN 1998CA00198 TW 449599 ZA 9700989 NO 9801357 |                          |                                 | B1                                    |                                 | 2006                                    |                                  |  |                                  |                                   |                          |                          |                          | 3                        | 19970                           | 130                            | < |
| AT         | 336495  |                          |                                 | T                                     |                                 | 2006                                    |                                  |  | AT I                             | 1997-                             | 9022                     | 95                       |                          | 3                        | 19970                           | 130                            | < |
| ES         | 2168237   |                          |                                 | Т3                                    |                                 | 2007                                    |                                  |  | ES 1                             | 1997-                             | 9022'                    | 95                       |                          | - 1                      | 19970                           | 130                            | < |
| IN         | 1998CA00  | 198                      |                                 | A                                     |                                 | 2005                                    |                                  |  | IN I                             | 1998-0<br>1997-<br>1997-          | CA19                     | 8                        |                          | 1                        | 19970                           | 203                            | < |
| TW         | 449599  |                          |                                 | В                                     |                                 | 2001                                    |                                  |  | TW 1                             | 1997-                             | 8610                     | 1373                     |                          | 1                        | 19970                           | 205                            | < |
| ZA         | 9700989   |                          |                                 | A                                     |                                 | 1998                                    |                                  |  | ZA 1                             | 1997-                             | 989                      |                          |                          | 3                        | 19970                           | 206                            | < |
| NO         | 9801357<br>310292   |                          |                                 | A                                     |                                 | 1998                                    |                                  |  | NO .                             | 1998-                             | 135/                     |                          |                          | -                        | 19980                           | 325                            | < |
|            | 20031253  |                          |                                 |                                       |                                 | 2001                                    |                                  |  | 110 1                            | 1999-                             | 4477                     | 4.77                     |                          |                          |                                 | 200                            |   |
| 110        | 6664261   | 41                       |                                 | AI<br>D2                              |                                 | 2003                                    |                                  |  | 05                               | 1999-                             | 11//                     | 1/                       |                          |                          | 19990                           | 302                            | < |
| 115        | 6664261<br>20041274   | 83                       |                                 | Δ1                                    |                                 | 2003                                    |                                  |  | IIS 2                            | 2003-                             | 6657                     | 40                       |                          |                          | 20030                           | 919                            | < |
| IIS        | 7074797   | 00                       |                                 | B2                                    |                                 | 2006                                    |                                  |  |                                  | 2005                              |                          |                          |                          | •                        | 20050                           | ,,,                            | • |
| US         | 20062706  | 59                       |                                 | A1                                    |                                 | 2006                                    |                                  |  | US 2                             | 2006-                             | 4136                     | 10                       |                          | - 2                      | 20060                           | 428                            | < |
| RIORIT     | APPLN.  | INFO                     | . :                             |                                       |                                 |   |                                  |  | US I                             | 2006-<br>1996-                    | 1127                     | 9P                       |                          | P 1                      | 19960                           | 207                            | < |
|            |   |                          |                                 |                                       |                                 |   |                                  |  | US 1                             | 1996-                             | 2768                     | 8P                       |                          | P 1                      | 19961                           | 800                            | < |
|            |   |                          |                                 |                                       |                                 |   |                                  |  | JP 1                             | 1997-<br>1997-1                   | 5281                     | 23                       |                          | A3 1                     | 19970                           | 130                            | < |
|            |   |                          |                                 |                                       |                                 |   |                                  | WO I   | 1997-1                           | EP45                              | 9                        |                          | W I                      | 19970                    | 130                             | <                              |   |
|            |   |                          |                                 |                                       |                                 |   |                                  |  | US I                             | 1999-                             | 1177                     | 17                       |                          | A1 1                     | 19990                           | 302                            | < |
|            |   |                          |                                 |                                       |                                 |   |                                  |  |                                  |                                   |                          |                          |                          |                          |                                 |                                |   |

OTHER SOURCE(S): MARRAT 127.220669
IT 16142-51-1P 195054-42-3P 195054-43-4P
195054-44-5P 195054-48-9P 195054-46-7P
195054-47-8P 195054-48-9P 195054-49-0P
195054-50-3P 195054-51-4P 195054-52-5P
195054-53-6P 195054-54-7P 195054-55-8P
195054-90-1P 195055-15-3P 195055-18-6P
195055-21-1P 195055-43-7P 195055-44-8P
195055-53-9P 195055-40-0P 195055-55-1P
195055-56-2P 195055-82-4P
RI: BAC (Biological activity or effector,

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)

- (preparation of aminopyrazolopyrimidines as CRF receptor antagonists)
  RN 16142-51-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N,2,5-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)

- RN 195054-42-3 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-propyl-(9CI) (CA INDEX NAME)

- RN 195054-43-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

Et-CH-NH

RN 195054-44-5 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,1-dimethylethyl)-5-methyl- (9CI) (CA INDEX NAME)

# NHBu-t

RN 195054-45-6 CAPLUS

CN 1-Propanol, 3-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

## HO- (CH2)3-NH

RN 195054-46-7 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1-ethylpropyl)-5-methyl- (9CI) (CA INDEX NAME)

### Et2CH-NH

RN 195054-47-8 CAPLUS

- CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(3-methoxypropyl)-5-methyl- (9CI) (CA INDEX NAME)
- MeO-(CH<sub>2</sub>)3-NH
  N
  N
  C1
- RN 195054-48-9 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-5-methyl-N-[3-(1-methylethoxy)propyl]- (9C1) (CA INDEX NAME)
- i-Pro- (CH<sub>2</sub>)3-NH
- RN 195054-49-0 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(cyclopropylmethyl)-3-(2,4dichlorophenyl)-5-methyl- (9CI) (CA INDEX NAME)
- CH2 NH NH
- RN 195054-50-3 CAPLUS
  CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,2-dimethylpropyl)-5-methyl- (9C1) (CA INDEX NAME)

RN 195054-51-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(1,3-dimethylbutyl)-5-methyl- (9CI) (CA INDEX NAME)

RN 195054-52-5 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-53-6 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-54-7 CAPLUS

CN 1-Butanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-3-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195054-55-8 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-(cyclopropylmethyl)-3-(2,4-dichlorophenyl)-N,5-dimethyl- (9CI) (CA INDEX NAME)

RN 195054-90-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 5-buty1-3-(2,4-dichloropheny1)-N-(3methoxypropy1)- (9CI) (CA INDEX NAME)

MeO-(CH2)3-NH N-Bu N C1

RN 195055-15-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dichlorophenyl)-N-(2-methoxy-1-methylethyl)-5-methyl- (9CI) (CA INDEX NAME)

Me MeO-CH<sub>2</sub>-CH-NH

RN 195055-18-6 CAPLUS

CN 1-Hexanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

HO-CH2 n-Bu-CH-NH

RN 195055-21-1 CAPLUS

CN 1-Pentanol, 2-[[3-(2,4-dichlorophenyl)-5-methylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

n-Pr-CH-NH

RN 195055-43-7 CAPLUS

CN 1-Hexanol, 2-[[3-(4-chloropheny1)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

n-Bu-CH-NH

RN 195055-44-8 CAPLUS

CN 1-Pentanol, 2-[[3-(4-chlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

n-Pr-CH-NH

RN 195055-45-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(4-chlorophenyl)-2,5-dimethyl-N-[2-(methylthio)ethyl]- (9CI) (CA INDEX NAME)

$${\tt MeS-CH_2-CH_2-NH}$$

RN 195055-46-0 CAPLUS

CN 1-Pentanol, 2-[[3-(4-chlorophenyl)-2,5-dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]-4-methyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 195055-47-1 CAPLUS

CN 1-Hexanol, 2-[[3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5dimethylpyrazolo[1,5-a]pyrimidin-7-yl]amino]- (9CI) (CA INDEX NAME)

n-Bu-CH-NH

RN 195055-53-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3pyridinyl]-N-(1-ethylpropyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

## Et 2CH - NH

RN 195055-54-0 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-2,5-dimethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 195055-55-1 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N-(1,3-dimethylbutyl)-2,5-dimethyl- (9CI) (CA INDEX NAME)

Ме

i-Bu-CH-NH

RN 195055-56-2 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N-butyl-3-[6-(dimethylamino)-4-methyl-3-pyridinyl]-N,2,5-trimethyl- (9CI) (CA INDEX NAME)

RN 195055-82-4 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(2,4-dimethoxypheny1)-N-(2-methoxyethy1)-2,5-dimethy1- (9CI) (CA INDEX NAME)

Ι

AB Title compds. I [R = (un)substituted Ph, pyridyl; Rl = substituted NH2, (un)substituted alkoy; R2 = alkyl, alkoxy, alkylthio; R3 = H, alkyl, alkylsulfonyl, alkylsulfoxy, alkylthioj having CRF receptor antagonistic properties, were prepared Thus, I [R = 2,4-C12C6H3, Rl = N-propyl-N-cyclopropylmetylamino, R2 = Me, R3 = H, II] was obtained by treating 3-amino-4-(2,4-dichlorophenyl)pyrazole with MeCOCH2CO2Et, chlorinating I [R = C1]. II had a Ki for CRF receptor inhibition of <250 nM.

L5 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:196727 CAPLUS

DOCUMENT NUMBER: 124:261026

TITLE: Preparation and formulation of pyrazolopyrimidine

derivatives as analgesics

INVENTOR(S): Shoji, Yasuo; Inoue, Makoto; Okamura, Takashi;

Hashimoto, Kinji; Ohara, Masayuki; Yasuda, Tsuneo

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

|             | NO.          |     |    |           | APPLICATION NO.       |                |
|-------------|--------------|-----|----|-----------|-----------------------|----------------|
| WO 953      |              |     | A1 |           | WO 1995-JP1104        |                |
|             |              |     |    | , ES, FR, | GB, GR, IE, IT, LU, M | IC, NL, PT, SE |
| CA 216      | 9719         |     | A1 | 19951228  | CA 1995-2169719       | 19950605 <     |
| CA 216      | 9719         |     | C  | 20020416  |                       |                |
|             |              |     |    |           | AU 1995-25765         | 19950605 <     |
|             | 370          |     |    | 19970724  |                       |                |
|             |              |     |    |           | EP 1995-920260        | 19950605 <     |
|             | 898          |     |    |           |                       |                |
|             |              |     |    |           | GB, GR, IE, IT, LI, L |                |
| CN 113      | 1948<br>6730 |     | A  | 19960925  | CN 1995-190760        | 19950605 <     |
| CN 104      | 6730         |     | В  | 19991124  |                       |                |
|             | 11068        |     |    |           | JP 1995-137878        | 19950605 <     |
|             | 3412         |     |    | 20010508  |                       |                |
|             | 10951        |     |    | 19961126  | JP 1995-137890        | 19950605 <     |
|             | 3413         |     |    | 20010508  |                       |                |
| AT 208      | 776          |     | T  | 20011115  |                       |                |
|             | 4153         |     |    |           | ES 1995-920260        |                |
|             | 898          |     |    |           | PT 1995-920260        |                |
|             | 7997         |     | A  | 19980113  | US 1996-602824        |                |
| PRIORITY AF | PLN. INFO    | . : |    |           | JP 1994-138635        |                |
|             |              |     |    |           | JP 1995-53997         |                |
|             |              |     |    |           | WO 1995-JP1104        | W 19950605 <   |

## OTHER SOURCE(S): MARPAT 124:261026

IT 174859-38-2P 174859-40-6P

RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified), SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of pvrazolopvyrimidine derivs. as analoesics)

RN 174859-38-2 CAPLUS

CN Benzamide, N-[5-butyl-2-phenyl-3-[4-(phenylthio)phenyl]pyrazolo[1,5-a]pyrimidin-7-yl]-3,4,5-trimethoxy- (9CI) (CA INDEX NAME)

RN 174859-40-6 CAPLUS
CN Benzamide, N-(5-butyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-3,4,5trimethoxy- (9CI) (CA INDEX NAME)

GI

AB The title compds. I [R1 represents hydrogen, lower alkyl, cycloalkyl,

thienyl, furyl, lower alkenyl or phenyl; R2 represents naphthyl, cycloalkyl, furyl, thienyl, pyridyl, phenoxy or phenyl; R3 represents hydrogen, Ph or lower alkyl; R4 represents hydrogen, lower alkyl, lower alkoxycarbonyl, phenyl-substituted lower alkyl, Ph or halogen; R5 represents hydrogen or lower alkyl; R6 represents hydrogen, lower alkyl, phenyl-substituted lower alkyl or benzoyl; Q represents carbonyl or sulfonyl; A represents a single bond, lower alkylene or lower alkenylene; and n represents 0 or 1] are prepared The title compound II (preparation given) at

3 mg/Kg orally showed potent analgesic activity in rats.

L5 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:213102 CAPLUS

DOCUMENT NUMBER: 118:213102

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

antiinflammatory agents

INVENTOR(S): Inoue, Makoto; Hashimoto, Kinji; Kuwahara, Toshiko; Sugimoto, Yukio; Uesako, Takuji; Funato, Toshiaki

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.                   | KIND D    | ATE :       | APPLICATION NO.    | DATE         |
|------------------------------|-----------|-------------|--------------------|--------------|
| WO 9218504<br>W: AU, CA, KR, |           | 9921029     | WO 1991-JP1043     | 19910806 <   |
|                              |           | ES, FR, GB, | GR, IT, LU, NL, SE |              |
| CA 2107479                   | A1 19     | 9921023     | CA 1991-2107479    | 19910806 <   |
| CA 2107479                   | C 19      | 9971216     |                    |              |
| AU 9182958                   | A 19      | 9921117     | AU 1991-82958      | 19910806 <   |
| AU 651986                    | B2 19     | 9940811     |                    |              |
| EP 591528                    | A1 19     | 9940413     | EP 1991-913666     | 19910806 <   |
| EP 591528                    | B1 19     | 9981223     |                    |              |
| R: AT, CH, DE,               | DK, ES, I | FR, GB, IT, | LI, NL, SE         |              |
| AT 174917                    | T 19      | 9990115     | AT 1991-913666     | 19910806 <   |
| ES 2126573                   | T3 19     | 9990401     | ES 1991-913666     | 19910806 <   |
| JP 05070353                  | A 19      | 9930323     | JP 1992-55370      | 19920313 <   |
| US 5688949                   | A 19      | 9971118     | US 1993-133086     | 19931007 <   |
| RIORITY APPLN. INFO.:        |           |             | JP 1991-90707      | A 19910422 < |
|                              |           | 1           | WO 1991-JP1043     | A 19910806 < |
| THE COURSE IO                |           |             |                    |              |

OTHER SOURCE(S): MARPAT 118:213102 137739-40-3P 137739-41-4P 137739-43-6P

137739-44-7P 137739-55-0P 137739-56-1P

137739-59-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as antiinflammatory agent)

137739-40-3 CAPLUS

RN

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

- RN 137739-41-4 CAPLUS
- CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-y1)amino]-, methyl ester (9CI) (CA INDEX NAME)

- RN 137739-43-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

- RN 137739-44-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

RN 137739-55-0 CAPLUS

CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-56-1 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

• Na

RN 137739-59-4 CAPLUS CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4-hydroxyphenyl)amino]-3-phenyl- (9C1) (CA INDEX NAME)

GI

AB The title compds. [I; R1-R4 = H, CO2H, Ph, alkoxycarbonyl, alkyl, cycloalkyl, etc.; R1R2 = alkylene; R5 = SR6, NR7R8 (wherein R6 = pyridyl, Ph or substituted Ph; R7, R8 = H, Ph or substituted Ph; R7, etc.)] are prepared A suspension of C1 compound II (R = C1) 3.5, aniline salt III 6.0, and PhNEt2 6.0 in MePh was heated at 120° to give 4.7 g IV, which showed IC50 of 3 + 10-7M against cyclooxygenase. IV showed 65.0% inhibition against cyclooxygenase at 3 + 10-7M, vs. 12.4% with indomethacin.

L5 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1992:6580 CAPLUS

DOCUMENT NUMBER: 116:6580

TITLE: Preparation of pyrazolo[1,5-a]pyrimidine derivatives

as drugs

INVENTOR(S): Inoue, Makoto; Hashimoto, Kinji

PATENT ASSIGNEE(S): Otsuka Pharmaceutical Factory, Inc., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

|      | PATENT NO.         | KIND | DATE     | APPLICATION NO. DAT   | E       |
|------|--------------------|------|----------|-----------------------|---------|
|      |                    |      |          |                       |         |
|      | JP 03204877        | A    | 19910906 | JP 1990-289769 199    | 01025 < |
|      | JP 2585462         | B2   | 19970226 |                       |         |
| RIOF | RITY APPLN. INFO.: |      |          | JP 1989-277566 A1 198 | 91025 < |

PR OTHER SOURCE(S): MARPAT 116:6580

137739-40-3P 137739-41-4P 137739-43-6P

137739-44-7P 137739-55-0P 137739-56-1P 137739-59-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of, as drug)

137739-40-3 CAPLUS RN

CN Phenol, 2,6-bis(1,1-dimethylethyl)-4-[(5-methyl-3-phenylpyrazolo[1,5a]pyrimidin-7-yl)amino]- (9CI) (CA INDEX NAME)

RN 137739-41-4 CAPLUS

CN Benzoic acid, 2-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, methyl ester (9CI) (CA INDEX NAME)

- RN 137739-43-6 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[2-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

- RN 137739-44-7 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[[4-hydroxy-3-(methoxycarbonyl)phenyl]amino]-3-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

- RN 137739-55-0 CAPLUS
- CN Benzoic acid, 2-hydroxy-5-[(5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-

## yl)amino]- (9CI) (CA INDEX NAME)

- RN 137739-56-1 CAPLUS
- CN Benzoic acid, 2-((5-methyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)amino]-, monosodium salt (9CI) (CA INDEX NAME)

- Na
- RN 137739-59-4 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidine-6-carboxylic acid, 7-[(3-carboxy-4hydroxyphenyl)amino]-3-phenyl- (9CI) (CA INDEX NAME)

GI

AB The title compds. [I, Rl-R4 = H, CO2H, alkoxycarbonyl, Ph, (HO-, HO2C-, or alkoxycarbonyl-substituted)alkyl, cycloalkyl; or R1R2 = alkylene; R5 = SR6, NR7R8; R6 = pyridyl, (1-3 HO- or alkyl-substituted) Ph; R7, R8 = H, (1-3 HO-, alkyl-, alkoxycarbonyl-, or HO2C-substituted) Ph; R7, R8 = H, = l-pyrrolidinyl, 2-oxo-l-pyrrolidinyl, (un)substituted) Ph; or NR7R8 = l-pyrrolidinyl, useful as antiinflammatories, antirheumatics, antiasthmatics, allergy inhibitors, antipyretics, and analgesics and for improvement of ischemia (no data), are prepared Thus, a suspension of 1.0 g 7-chloropyrazolo[1,5-pyrimidine, 1.8 g 3,5-di-tert-butyl-4-hydroxyaniline-HCl, and 2.3 mL PhNEt2 in PhMe was heated 30 min at 120° to give 890 mg I (R1-R4 = H, R5 = 3,5-di-tert-butyl-4-hydroxyphenylamino). A total of 48 I were prepared

L5 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1986:47662 CAPLUS

DOCUMENT NUMBER: 104:47662

TITLE: Purine analog inhibitors of xanthine oxidase -

structure activity relationships and proposed binding

of the molybdenum cofactor AUTHOR(S):

Robins, Roland K.; Revankar, Ganapathi R.; O'Brien, Darrell E.; Springer, Robert H.; Novinson, Thomas;

Albert, Anthony; Senga, Keitaro; Miller, Jon P.; Streeter, David G.

CORPORATE SOURCE: Cancer Res. Cent., Brigham Young Univ., Provo, UT,

84602, USA

SOURCE: Journal of Heterocyclic Chemistry (1985),

22(3), 601-34

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal LANGUAGE . English

OTHER SOURCE(S):

CASREACT 104:47662 99898-59-6P 99898-60-9P 99898-61-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of and xanthine oxidase inhibition by)

RN 99898-59-6 CAPLUS

CN Pvrazolo[1,5-a]pvrimidin-7-amine, N-ethvl-3-(3-methvlphenvl)- (9CI) (CA INDEX NAME)

RN 99898-60-9 CAPLUS

CN Pyrazolo[1,5-a]pyrimidin-7-amine, N,N-dimethyl-3-(3-methylphenyl)- (9CI) (CA INDEX NAME)

RN 99898-61-0 CAPLUS

Pyrazolo[1,5-a]pyrimidin-7-amine, 3-(3-methylphenyl)-N-propyl- (9CI) (CA INDEX NAME)

An updated study of structure-activity relations and binding to xanthine oxidase of hypoxanthine analogs is presented. In view of the recent elucidation of the pterin cofactor and the proposed binding of this factor to the Mo ion in xanthine oxidase, a detailed mechanism of xanthine oxidase oxidation of hypoxanthine and xanthine is proposed. Three types of substrate binding are viewed for xanthine oxidase: the binding of xanthine to xanthine oxidase is termed Type I binding, the binding of hypoxanthine is termed Type II binding, and the specific binding of alloxanthine is called Type III binding. These 3 types of substrate binding were determined for the most potent known inhibitors of xanthine oxidase, and these inhibitors were classified as to the type of inhibitor binding most likely to be associated with specific enzyme inhibition. The structural requirements for each type of binding can be clearly seen to correlate with the inhibitory activity observed. The chemical syntheses of the new 3-phenyl- and 3-substituted phenylpyrazolo[1,5-a]pyrimidines with various substituents are reported. The syntheses of various 8-pheny1-2substituted pyrazolo[1,5-a]-s-triazines, certain s-triazolo[1,5-a]-striazines and s-triazolo[1,5-a]pyrimidine derivs. prepared in connection with the present study are also described.

L5 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1971:405833 CAPLUS

DOCUMENT NUMBER: 75:5833

TITLE: Acyl enamines. 18. Reaction of phenylcyanoacetaldehyde with hydrazine

AUTHOR(S): Eiden, Fritz; Evers, G.

CORPORATE SOURCE: Pharm. Inst., Freie Univ. Berlin, Berlin, Fed. Rep.

Ger.

SOURCE: Archiv der Pharmazie und Berichte der Deutschen

Pharmazeutischen Gesellschaft (1971),

304(2), 121-5

CODEN: APBDAJ; ISSN: 0376-0367

DOCUMENT TYPE: Journal LANGUAGE: German

IT 32016-26-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 32016-26-5 CAPLUS

Acetamide, N-(3,6-diphenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)

NHAc

CN

AB Reaction of PhCH(CN)CHO with H2NNH2.H2O in C6H6 in the presence of p-MeC6H4SO3H gave 73% I (and II (R = R1 = H) (III) by-product) but no PhCH(CN)CH:NN:CHCH(CN)Fh (described by E. L. Anderson, et al. (1964)). Heating III in Ac2O and concentrated H2SO4 gave 63% II (R = R1 = Ac) and some II (R = H, R1 = Ac).

L5 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1971:22872 CAPLUS

DOCUMENT NUMBER: 74:22872

TITLE: 7-Aminoalkylaminopyrazolo[1,5-a]pyrimidine derivatives

INVENTOR(S): Takamizawa, Akira
PATENT ASSIGNEE(S): Shionogi and Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 3 pp. CODEN: JAXXAD

DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

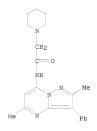
| PATENT NO.                 | KIND | DATE     | APPLICATION NO. | DATE       |
|----------------------------|------|----------|-----------------|------------|
|                            |      |          |                 |            |
| JP 45030335<br>30156-81-1P | B4   | 19701001 | JP 1966-81943   | 19661214 < |

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) RN 30156-81-1 CAPLUS

ΙT

CN 1-Piperidineacetamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)



GI For diagram(s), see printed CA Issue.

AB I is treated with an amine and the resulting II reduced to manufacture III, useful as an antipyretic, analgesic, and antiinflammatory drug. In an example, I in CHCl3 is refluxed 5 hr with piperidine to give II (A = piperidino), m. 195-6°. Similarly prepared are the following II (A given): morpholino; NMe2. III (A = piperidino) in THF is dropped into a suspension of LiAlH4 in THF and the mixture refluxed 4 hr to give III (A = piperidino). Similarly prepared are the following III (A given): morpholino; NMe2.

L5 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1968:114635 CAPLUS

DOCUMENT NUMBER: 68:114635

TITLE: 7-Haloacylaminopyrazolo[1,5-a]pyrimidines

INVENTOR(S): Takamizawa, Akira PATENT ASSIGNEE(S):

Shionogi and Co., Ltd. SOURCE: Jpn. Tokkyo Koho, 2 pp. CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE JP 42016314 B4 19670904 19640629 <--17560-60-0P

тт RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 17560-60-0 CAPLUS

Acetamide, 2-chloro-N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-CN (8CI) (CA INDEX NAME)

AR 2,3-Dimethyl-7-aminopyrazolo[1,5-a]pyrimidine (5.7 g.) in 40 ml. Me2NCHO is treated with 4 g. ClCH2COC1 with ice-cooling and the whole heated to give 1.94 g. 2,3-dimethyl-7-chloroacetamidopyrazolo[1,5-a]pyrimidine, m. 175° (MeOH). Similarly prepared are the following R-substituted-7-chloroacetamidopyrazolo[1,5-a]pyrimidines (R and m.p. given): 2,3,6-trimethyl, 139-41°; 2,5-dimethyl-3-phenyl, 158-9°. The products are then subjected to aminolysis to give antipyretic, analgesic, and antiphlogistic drugs.

L5 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1967:508663 CAPLUS

DOCUMENT NUMBER: 67:108663

TITLE: 7-Methylaminopyrazolo[1,5-a]pyrimidine derivatives

Takamizawa, Akira; Hamashima, Yoshio INVENTOR(S):

PATENT ASSIGNEE (S): Shionogi and Co., Ltd.

SOURCE: Jpn. Tokkyo Koho, 3 pp. CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

TT

| PATENT NO.          | KIND | DATE     | APPLICATION NO. | DATE       |
|---------------------|------|----------|-----------------|------------|
|                     |      |          |                 |            |
| JP 42011753         | B4   | 19670704 | JP              | 19640120 < |
| 16142-50-0D 16142-5 | 1_1D |          |                 |            |

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 16142-50-0 CAPLUS

RN

Formamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI) (CA INDEX NAME)

- RN 16142-51-1 CAPLUS
- CN Pyrazolo[1,5-a]pyrimidin-7-amine, N,2,5-trimethyl-3-phenyl- (9CI) (CA INDEX NAME)

- For diagram(s), see printed CA Issue.
- cf. preceding abstract Manufacture of Ia (I where X is NHMe), useful as AB sedative

and antiphlogistic agents, starting from Ib (I where X is NH2) via Ic (I where X is NHCHO) is described. In an example, 5 ml. acid anhydride of a mixture of HCO2H and Ac2O is added to 1 g. ice-cooled Ib (R1 = R2 = Me, R3 = R4 = H), the whole let stand for 1.5 days, concentrated in vacuo, and the residue recrystd. (Me2CO) to give 0.95 g. Ic (R1 = R2 = Me, R3 = R4 = H), pale green, m. 189°. Similarly prepared are the following Ic (R1, R2, R3, R4, and m.p. given): Me, Me, H, Me (pale green), 183°; Me, Ph, Me, H (pale yellow), 184° (decomposition); PhCH2, Me, Me, H,  $131-2^{\circ}$ . Ic (R1 = R2 = Me, R3 = R4 = H) (1 g.) in 30 ml.

tetrahydrofuran is added to icecooled mixture of 0.7 g. LialH4 and 50 ml. tetrahydrofuran, the mixture heated at 70° for 5 hrs., NaOH solution added, the tetrahydrofuran layer separated and concentrated, and the residue

extracted

with CHC13 to give 0.83 g. Ia (R1 = R2 = Me, R3 = R4 = H), m. 146° (Et20). Similarly prepared are the following Ia (R1, R2, R3, R4, and m.p. given): Me, Me, H, Me, 157°; Me, Ph, Me, H, 190-1°; PhCH2, Me, Me, H, (oil) (picrate m. 207-8°).

L5 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1967:410663 CAPLUS

DOCUMENT NUMBER: 67:10663

TITLE: The electrocardiographic picture in the acute experimental poisoning from organic phosphorus

compounds

AUTHOR(S): Orlando, E.; Raffi, G. B.; Zini, C. Ist. Med., Univ. Bologna, Bologna, Italy

SOURCE: Lavoro Umano (1966), 18(11), 493-501

CODEN: LAUMAL: ISSN: 0023-9127 DOCUMENT TYPE: Journal

LANGUAGE: Italian

IT 16142-50-0P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of) 16142-50-0 CAPLUS

RN CM Formamide, N-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)- (8CI)

(CA INDEX NAME)

OHC-NH N. Me Me Ph

AB A study of the electrocardiographic changes effected by parathion, administered i.v. into rabbits at an acute poisoning dose of 12.5 mg./kg. body weight, suggested that electrolyte imbalances effected by organic P compds.

play a role in the genesis of these electrocardiographic changes.

L5 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1966:75800 CAPLUS DOCUMENT NUMBER: 64:75800

64:14196h,14197a-b ORIGINAL REFERENCE NO.: TITLE:

7-Substituted carbonylaminopyrazolo[1,5-

a]pyrimidine derivatives INVENTOR(S): Takamizawa, Akira; Hamashima, Yoshio

PATENT ASSIGNEE(S): Shionogi & Co., Ltd.

SOURCE: 3 pp. DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO.  | KIND     | DATE         | APPLICATION NO.       | DATE     |   |  |
|---|----------|--------------|-----------------------|----------|---|--|
|   |          |              |                       |          |   |  |
| JP 41001864   | B4       | 19660209     | JP                    | 19630907 | < |  |
| PRIORITY APPLN. INFO.:                                  |          |              | JP                    | 19630907 | < |  |
| IT 5299-74-1P, Urea,                                    | 3-(2,5-0 | dimethyl-3-p | henylpyrazolo[1,5-a]- |          |   |  |
| pyrimidin-7-yl)-1,1-dimethyl- 5299-76-3P, Pyrazolo[1,5- |          |              |                       |          |   |  |
| 3 1 1 1 1 7 7   |          | -1 - 01      |                       |          | - |  |

a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenylthio-, S-ethyl ester 5313-71-3P, Pyrazolo[1,5-a]pyrimidine-7-carbamic acid,

2,5-dimethyl-3-phenyl-, ethyl ester

RL: PREP (Preparation) (preparation of)

5299-74-1 CAPLUS

CN Urea, 3-(2,5-dimethyl-3-phenylpyrazolo[1,5-a]pyrimidin-7-yl)-1,1-dimethyl-(7CI, 8CI) (CA INDEX NAME)

RN 5299-76-3 CAPLUS

CN Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenylthio-, S-ethyl ester (7CI, 8CI) (CA INDEX NAME)

RN 5313-71-3 CAPLUS

Pyrazolo[1,5-a]pyrimidine-7-carbamic acid, 2,5-dimethyl-3-phenyl-, ethyl

GI For diagram(s), see printed CA Issue.

AB Manufacture of I, useful as tranquilizer and antiinflammatory agents, is described. Thus, a mixture of 1 g. 2,3-dimethyl-7-aminopyrazolo[1,5-a]pyrimidine and 0.67 g. ClCO2Et in 20 ml. Me2CO is heated at 100° for 4 hrs. in a sealed tube, cooled, filtered, the filtrate extracted with CHCl3, and the extract concentrated to give 0.83 g. I (R1 = R2 = Me, R3 = H, R4 =

OEt), columns, m. 113° (Et20); hydrochloride m. 188°.
Similarly prepared are the following I (RI, R2, R3, R4, and m.p. given): Me,
Me, H, NMe2, 163° (hydrochloride m. 210-13°); Me, Me, H,
morpholino, -- (hydrochloride m. 208°); Me, Me, H, piperidino,
136°; Me, Ph, Me, OEt, 148-9°; Me, Ph, Me, NMe2,
148-50°; Bz, Me, Me, OEt, 92°; Me, Ph, Me, SEt, 105°;
Bz, Me, Me, SEt, 98-9°; Bz, Me, Me, NMe2, 137-8°; Bz, Me,
Me, piperidino, 118-19°.

---Logging off of STN---

**E**>

Executing the logoff script...

=> LOG Y

| COST IN U.S. DOLLARS                       | SINCE FILE | TOTAL   |
|--|------------|---------|
|  | ENTRY      | SESSION |
| FULL ESTIMATED COST                        | 211.46     | 383.77  |
|  |            |         |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL   |
|  | ENTRY      | SESSION |
| CA SUBSCRIBER PRICE                        | -29.64     | -29.64  |

STN INTERNATIONAL LOGOFF AT 09:42:32 ON 05 SEP 2007